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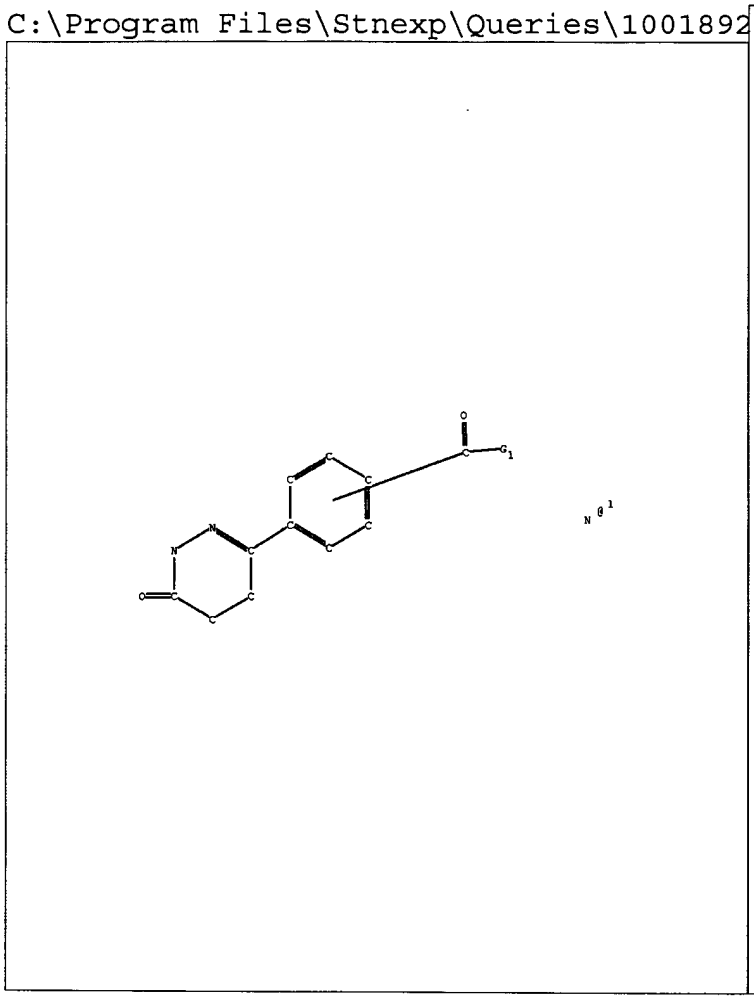

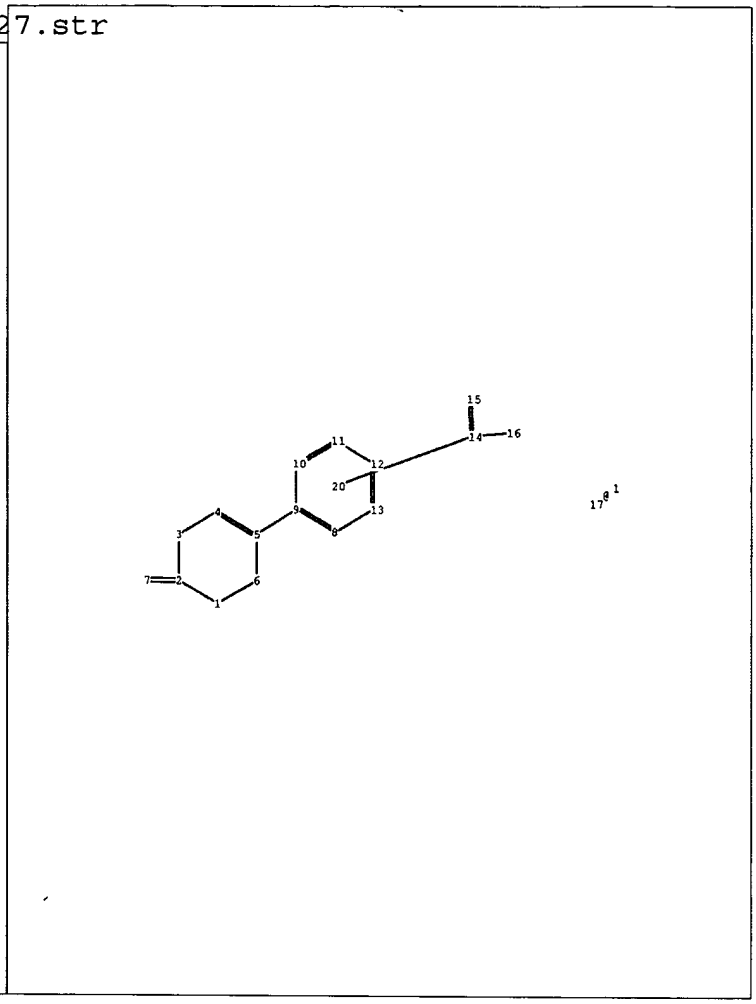

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7 14 15 16
ring nodes :
1 2 3 4 5 6 8 9 10 11 12 13
ring/chain nodes :
17
chain bonds :
2-7 5-9 14-15 14-16
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13
exact/norm bonds :
1-2 1-6 2-3 2-7 3-4 4-5 5-6 14-15 14-16
exact bonds :
5-9
normalized bonds :
8-9 8-13 9-10 10-11 11-12 12-13
isolated ring systems :
containing 1 :

G1:O,[*1]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom
10:Atom 11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 16:CLASS
17:CLASS 20:CLASS

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=> s l1 sss full
FULL SEARCH INITIATED 15:22:20 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   9000 TO ITERATE

100.0% PROCESSED    9000 ITERATIONS                          136 ANSWERS
SEARCH TIME: 00.00.01

L3          136 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                              149.35     149.56

FILE 'CAPLUS' ENTERED AT 15:22:35 ON 24 MAR 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 24 Mar 2003  VOL 138 ISS 13
FILE LAST UPDATED: 23 Mar 2003  (20030323/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


=> s l3
L4          23 L3

=> d l4 1-23 bib abs hitstr
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+ extra double bond.

L4 ANSWER 1 OF 23 CAPLUS COPYRIGHT 2003 ACS
AN 2001:798195 CAPLUS
DN 135:344381
TI Preparation of 1-aroyl-piperidinyl benzamidines as inhibitors of Factor Xa or tryptase
IN Pauls, Heinz; Gong, Yong; Levell, Julian; Astles, Peter C.; Eastwood, Paul R.
PA Aventis Pharmaceuticals Products Inc., USA
SO PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001081310	A1	20011101	WO 2001-US13810	20010427
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 2002045613	A1	20020418	US 2001-841417	20010424
	EP 1278732	A1	20030129	EP 2001-930924	20010427
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRAI	US 2000-200066P	P	20000427		
	GB 2000-18306	A	20000726		
	US 2001-841417	A	20010424		
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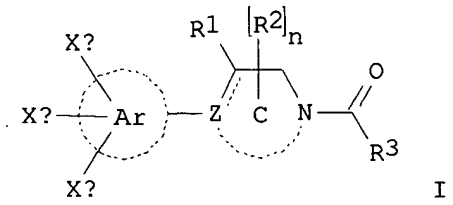
OS MARPAT 135:344381
GI



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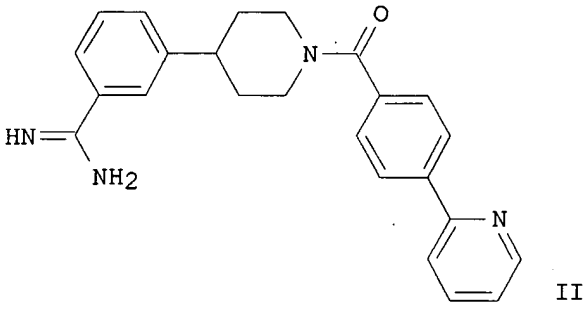


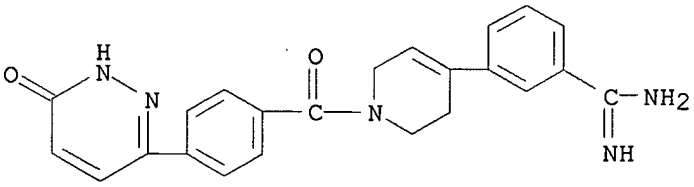
II

AB The title compds. [I; Z = C, N; ring C = 4-7 membered azaheterocyclyl, 4-7 membered azaheterocyclenyl; Ar = aryl, monocyclic heteroaryl, bicyclic azaheteroaryl; R1 = H, CH2OR12, CH2SR12, etc.; R2 = H, alkyl, aralkyl, etc.; R3 = cycloalkyl, cycloalkenyl, heterocyclyl, etc.; Xa, Xb, Xc = H, (hydroxy)NH, halo, etc.; R12 = H, alkyl, acyl, etc.], useful for the treatment of patients suffering from conditions which can be ameliorated by the administration of an inhibitor of Factor Xa or tryptase, were prepd. E.g., a multi-step synthesis of II.2F3CCO2H which showed Ki of 9.0 nM against Factor Xa, was given.

IT **370863-81-3P 370863-82-4P 370863-99-3P**
370864-00-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1-aroyl-piperidinyl benzamidines as inhibitors of Factor Xa or tryptase)

RN 370863-81-3 CAPLUS

CN Pyridine, 4-[3-(aminoiminomethyl)phenyl]-1-[4-(1,6-dihydro-6-oxo-3-pyridazinyl)benzoyl]-1,2,3,6-tetrahydro- (9CI) (CA INDEX NAME)



RN 370863-82-4 CAPLUS

CN Pyridine, 4-[3-(aminoiminomethyl)phenyl]-1-[4-(1,6-dihydro-6-oxo-3-pyridazinyl)benzoyl]-1,2,3,6-tetrahydro-, mono(trifluoroacetate) (9CI)

(CA INDEX NAME)

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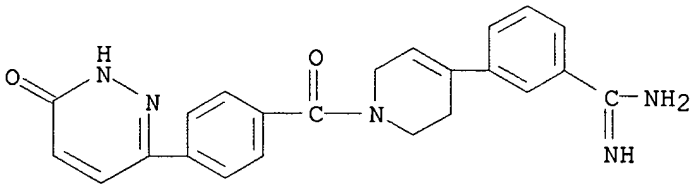
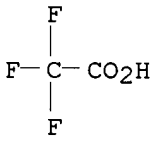
CRN 370863-81-3
CMF C23 H21 N5 O2

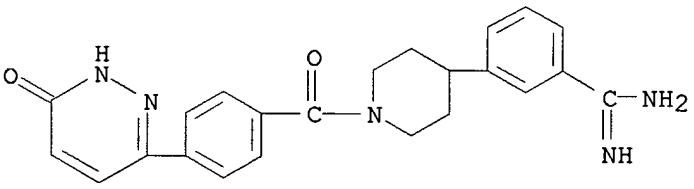


CM 2

CRN 76-05-1
CMF C2 H F3 O2



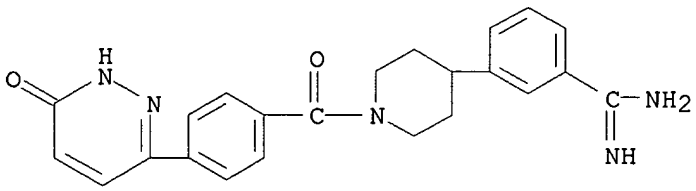
RN 370863-99-3 CAPLUS
CN Piperidine, 4-[3-(aminoiminomethyl)phenyl]-1-[4-(1,6-dihydro-6-oxo-3-pyridazinyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 370864-00-9 CAPLUS
CN Piperidine, 4-[3-(aminoiminomethyl)phenyl]-1-[4-(1,6-dihydro-6-oxo-3-pyridazinyl)benzoyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 370863-99-3
CMF C23 H23 N5 O2



CM 2

CRN 76-05-1
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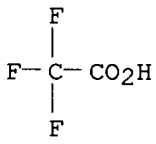
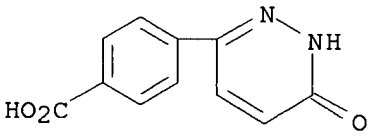


IT **249292-44-2**, 4-(6-Oxo-1,6-dihydropyridazin-3-yl)benzoic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of 1-aroyl-piperidinyl benzamidines as inhibitors of Factor Xa or tryptase)
RN 249292-44-2 CAPLUS
CN Benzoic acid, 4-(1,6-dihydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



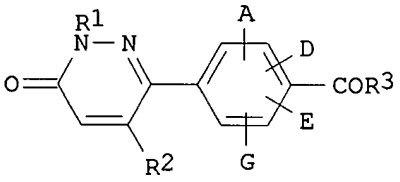
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2003 ACS
AN 2001:657511 CAPLUS
DN 135:195569
TI Preparation of 4-[1,6-dihydro-(6H)-6-oxo-3-pyridazinyl]benzoic acid amides and esters for treatment of anemia.
IN Stoltefuss, Juergen; Loegers, Michael; Braeunlich, Gabriele; Schmeck, Carsten; Nielsch, Ulrich; Stuermer, Werner; Gerdes, Christian; Lustig, Klemens; Sperzel, Michael
PA Bayer A.-G., Germany
SO Ger. Offen., 18 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE 10010422	A1	20010906	DE 2000-10010422	20000303
PRAI DE 2000-10010422		20000303		

OS MARPAT 135:195569
GI

Late priority
extra double bond
at 4-5 position



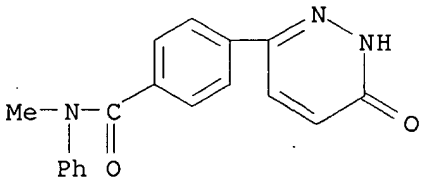
I

AB Title compds. [I; A, D, E, G = H, halo, CF3, OH, alkyl, alkoxy; R1, R2 = H, alkyl; R3 = OR4, NR5R6; R4 = vinyl, allyl, (substituted) cycloalkyl, alkyl, aryl; R5 = H, alkyl; R6 = cycloalkyl, tetrahydrobenzothienyl, (substituted) aryl, heterocyclyl, alkyl; R5R6 = tetrahydro(iso)quinolinyl, morpholinyl, imidazolyl, piperidinyl], were prepd. as erythropoiesis stimulators (no data). Thus, 4-[1,6-dihydro-(6H)-6-oxo-3-pyridazinyl]benzoic acid imidazolide (prepn. given) was refluxed with 2,6-difluorobenzylamine in dioxane for 20 h to give 65% 4-[1,6-dihydro-(6H)-6-oxo-3-pyridazinyl]benzoic acid 2,6-difluorobenzylamide.

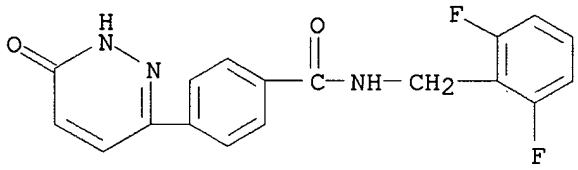
IT **356806-39-8P 356806-46-7P 356806-52-5P**
356806-58-1P 356806-64-9P 356806-70-7P
356806-76-3P 356806-83-2P 356806-88-7P
356806-94-5P 356807-01-7P 356807-08-4P
356807-14-2P 356807-20-0P 356807-30-2P
356807-36-8P 356807-43-7P 356807-49-3P
356807-55-1P 356807-62-0P 356807-68-6P
356807-74-4P 356807-81-3P 356807-87-9P
356807-94-8P 356808-01-0P 356808-07-6P
356808-13-4P 356808-19-0P 356808-25-8P
356808-31-6P 356808-38-3P 356808-44-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of carboxyphenylpyridazinones for treatment of anemia)

RN 356806-39-8 CAPLUS
CN Benzamide, 4-(1,6-dihydro-6-oxo-3-pyridazinyl)-N-methyl-N-phenyl- (9CI)

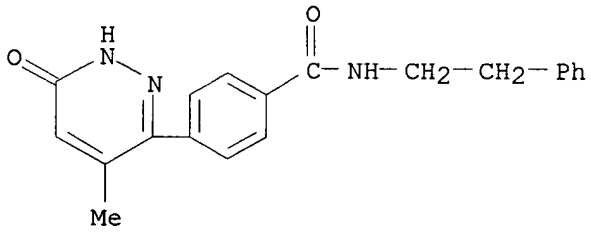
(CA INDEX NAME)



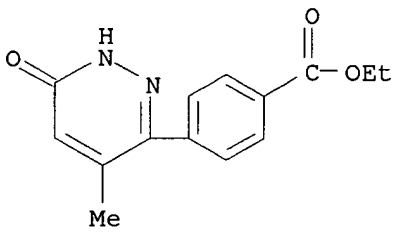
RN 356806-46-7 CAPLUS
CN Benzamide, N-[(2,6-difluorophenyl)methyl]-4-(1,6-dihydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



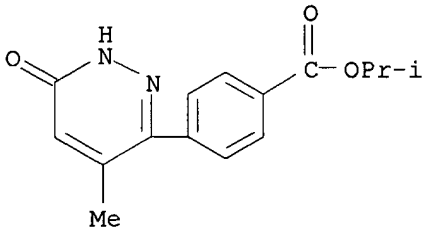
RN 356806-52-5 CAPLUS
CN Benzamide, 4-(1,6-dihydro-4-methyl-6-oxo-3-pyridazinyl)-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)



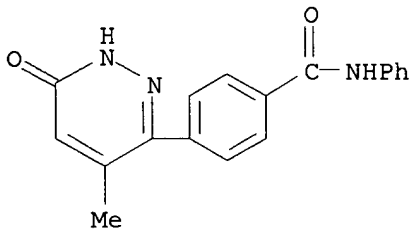
RN 356806-58-1 CAPLUS
CN Benzoic acid, 4-(1,6-dihydro-4-methyl-6-oxo-3-pyridazinyl)-, ethyl ester (9CI) (CA INDEX NAME)



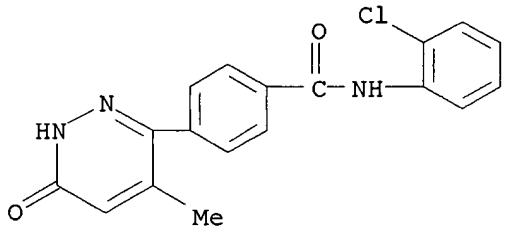
RN 356806-64-9 CAPLUS
CN Benzoic acid, 4-(1,6-dihydro-4-methyl-6-oxo-3-pyridazinyl)-, 1-methylethyl ester (9CI) (CA INDEX NAME)



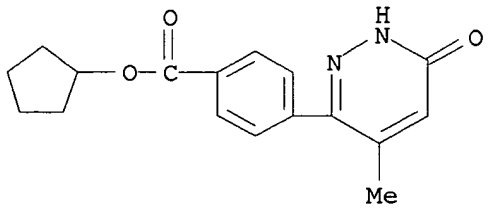
RN 356806-70-7 CAPLUS
CN Benzamide, 4-(1,6-dihydro-4-methyl-6-oxo-3-pyridazinyl)-N-phenyl- (9CI) (CA INDEX NAME)



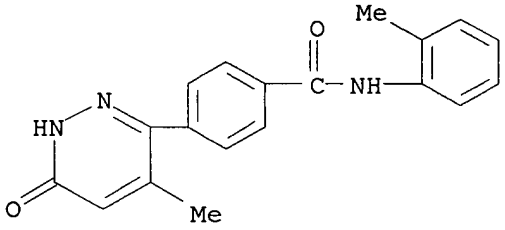
RN 356806-76-3 CAPLUS
CN Benzamide, N-(2-chlorophenyl)-4-(1,6-dihydro-4-methyl-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



RN 356806-83-2 CAPLUS
CN Benzoic acid, 4-(1,6-dihydro-4-methyl-6-oxo-3-pyridazinyl)-, cyclopentyl ester (9CI) (CA INDEX NAME)



RN 356806-88-7 CAPLUS
CN Benzamide, 4-(1,6-dihydro-4-methyl-6-oxo-3-pyridazinyl)-N-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 356806-94-5 CAPLUS
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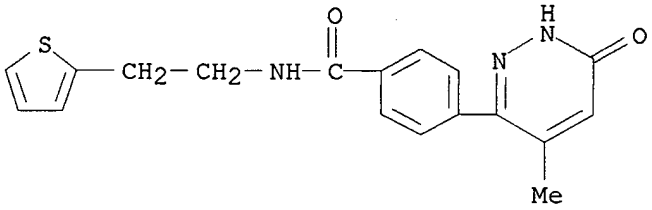
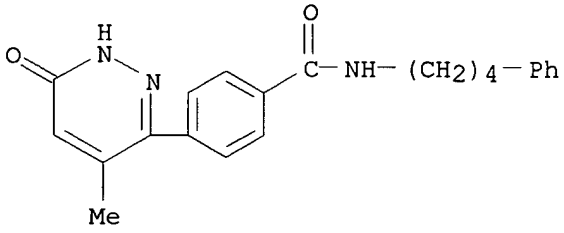
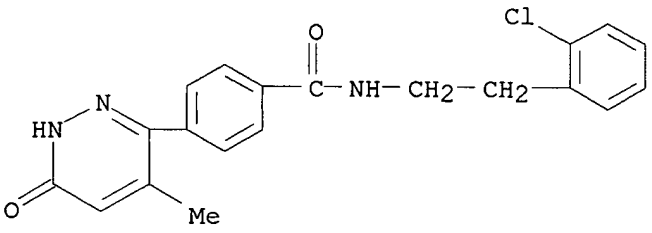


RN 356807-01-7 CAPLUS
CN Benzamide, 4-(1,6-dihydro-4-methyl-6-oxo-3-pyridazinyl)-N-(4-phenylbutyl)- (9CI) (CA INDEX NAME)

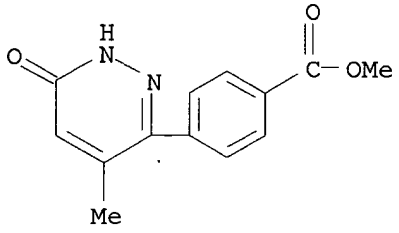


RN 356807-08-4 CAPLUS
CN Benzamide, N-[2-(2-chlorophenyl)ethyl]-4-(1,6-dihydro-4-methyl-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)

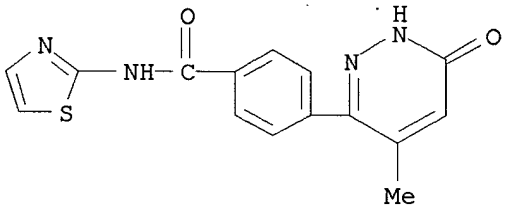


RN 356807-14-2 CAPLUS
CN Benzoic acid, 4-(1,6-dihydro-4-methyl-6-oxo-3-pyridazinyl)-, methyl ester

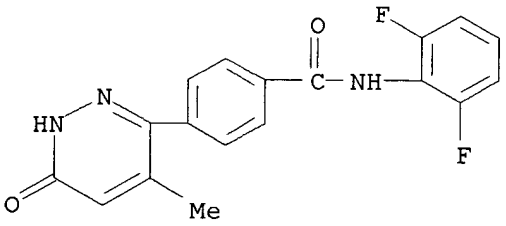
(9CI) (CA INDEX NAME)



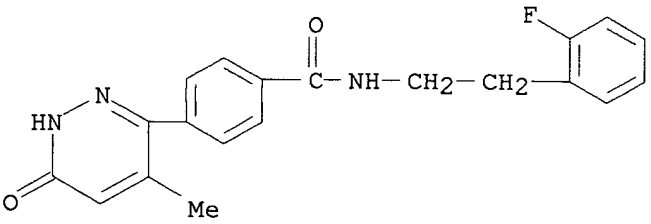
RN 356807-20-0 CAPLUS
CN Benzamide, 4-(1,6-dihydro-4-methyl-6-oxo-3-pyridazinyl)-N-2-thiazolyl- (9CI) (CA INDEX NAME)



RN 356807-30-2 CAPLUS
CN Benzamide, N-(2,6-difluorophenyl)-4-(1,6-dihydro-4-methyl-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



RN 356807-36-8 CAPLUS
CN Benzamide, 4-(1,6-dihydro-4-methyl-6-oxo-3-pyridazinyl)-N-[2-(2-fluorophenyl)ethyl]- (9CI) (CA INDEX NAME)



RN 356807-43-7 CAPLUS

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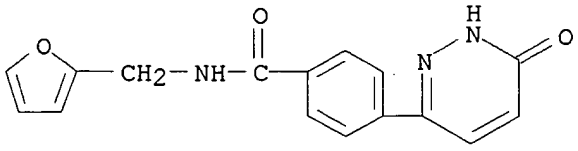
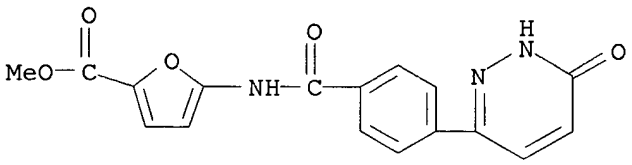
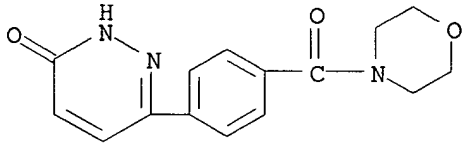


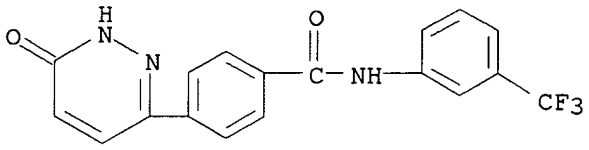
RN 356807-49-3 CAPLUS
CN 2-Furancarboxylic acid, 5-[[4-(1,6-dihydro-6-oxo-3-pyridazinyl)benzoyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



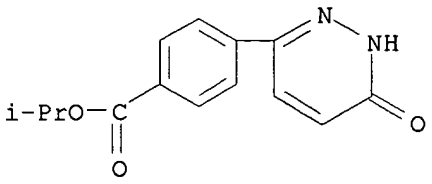
RN 356807-55-1 CAPLUS
CN Morpholine, 4-[4-(1,6-dihydro-6-oxo-3-pyridazinyl)benzoyl]- (9CI) (CA INDEX NAME)



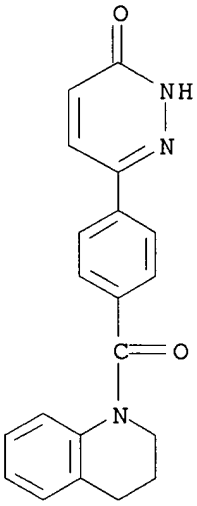
RN 356807-62-0 CAPLUS
CN Benzamide, 4-(1,6-dihydro-6-oxo-3-pyridazinyl)-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



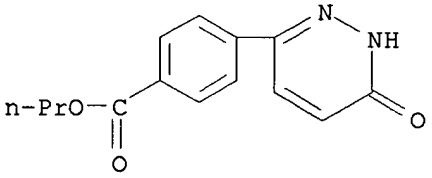
RN 356807-68-6 CAPLUS
CN Benzoic acid, 4-(1,6-dihydro-6-oxo-3-pyridazinyl)-, 1-methylethyl ester (9CI) (CA INDEX NAME)



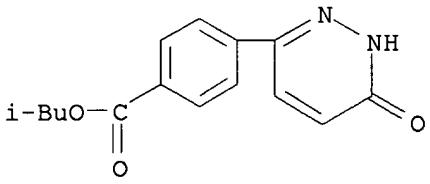
RN 356807-74-4 CAPLUS
CN Quinoline, 1-[4-(1,6-dihydro-6-oxo-3-pyridazinyl)benzoyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)



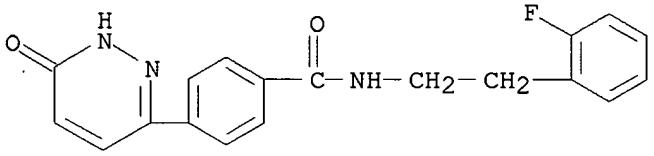
RN 356807-81-3 CAPLUS
CN Benzoic acid, 4-(1,6-dihydro-6-oxo-3-pyridazinyl)-, propyl ester (9CI) (CA INDEX NAME)



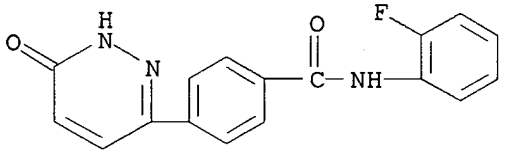
RN 356807-87-9 CAPLUS
CN Benzoic acid, 4-(1,6-dihydro-6-oxo-3-pyridazinyl)-, 2-methylpropyl ester (9CI) (CA INDEX NAME)



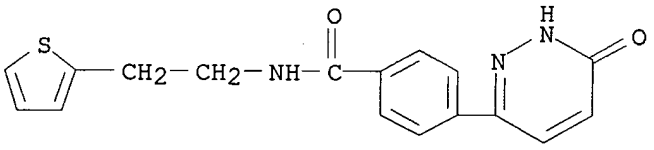
RN 356807-94-8 CAPLUS
CN Benzamide, 4-(1,6-dihydro-6-oxo-3-pyridazinyl)-N-[2-(2-fluorophenyl)ethyl]- (9CI) (CA INDEX NAME)



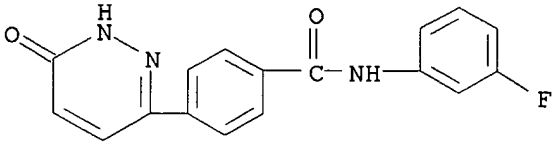
RN 356808-01-0 CAPLUS
CN Benzamide, 4-(1,6-dihydro-6-oxo-3-pyridazinyl)-N-(2-fluorophenyl)- (9CI) (CA INDEX NAME)



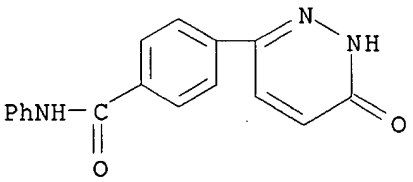
RN 356808-07-6 CAPLUS
CN Benzamide, 4-(1,6-dihydro-6-oxo-3-pyridazinyl)-N-[2-(2-thienyl)ethyl]- (9CI) (CA INDEX NAME)



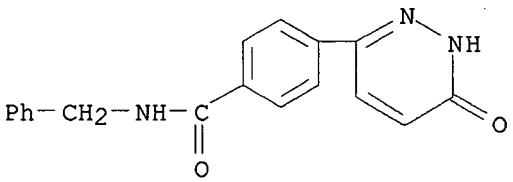
RN 356808-13-4 CAPLUS
CN Benzamide, 4-(1,6-dihydro-6-oxo-3-pyridazinyl)-N-(3-fluorophenyl)- (9CI) (CA INDEX NAME)



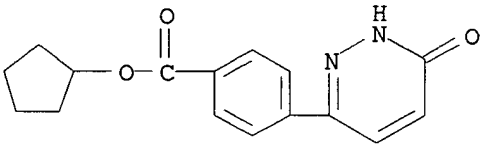
RN 356808-19-0 CAPLUS
CN Benzamide, 4-(1,6-dihydro-6-oxo-3-pyridazinyl)-N-phenyl- (9CI) (CA INDEX NAME)



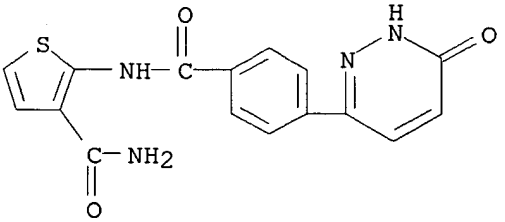
RN 356808-25-8 CAPLUS
CN Benzamide, 4-(1,6-dihydro-6-oxo-3-pyridazinyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



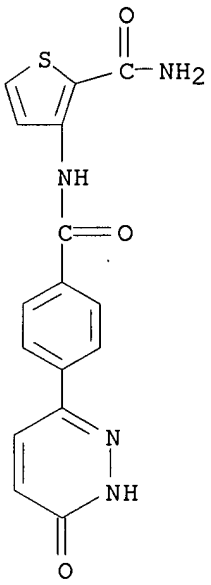
RN 356808-31-6 CAPLUS
CN Benzoic acid, 4-(1,6-dihydro-6-oxo-3-pyridazinyl)-, cyclopentyl ester (9CI) (CA INDEX NAME)



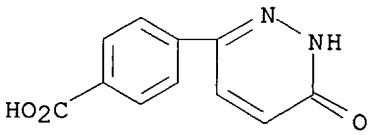
RN 356808-38-3 CAPLUS
CN 3-Thiophenecarboxamide, 2-[[4-(1,6-dihydro-6-oxo-3-pyridazinyl)benzoyl]amino]- (9CI) (CA INDEX NAME)



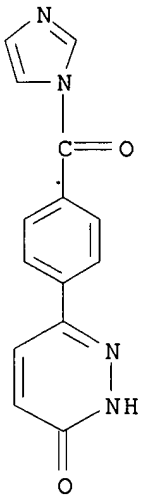
RN 356808-44-1 CAPLUS
CN 2-Thiophenecarboxamide, 3-[[4-(1,6-dihydro-6-oxo-3-pyridazinyl)benzoyl]amino]- (9CI) (CA INDEX NAME)



IT **249292-44-2P 356806-33-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of carboxyphenylpyridazinones for treatment of anemia)
RN 249292-44-2 CAPLUS
CN Benzoic acid, 4-(1,6-dihydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



RN 356806-33-2 CAPLUS
CN 1H-Imidazole, 1-[4-(1,6-dihydro-6-oxo-3-pyridazinyl)benzoyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2003 ACS
AN 2001:12427 CAPLUS
DN 134:86265
TI Preparation of 6-carboxyphenyldihydropyridazinones for treatment of anemia.
IN Stoltefuss, Jurgen; Braunlich, Gabriele; Logers, Michael; Schmeck, Carsten; Nielsch, Ulrich; Bechem, Martin; Gerdes, Christian; Sperzel, Michael; Lustig, Klemens; Sturmer, Werner
PA Bayer Aktiengesellschaft, Germany; et al.
SO PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DT Patent
LA German

Apps PCT

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001000589	A1	20010104	WO 2000-EP5564	20000616
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19929782	A1	20010104	DE 1999-19929782	19990629
EP 1196392	A1	20020417	EP 2000-945764	20000616
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WO 2000-EP5564	W	20000616		

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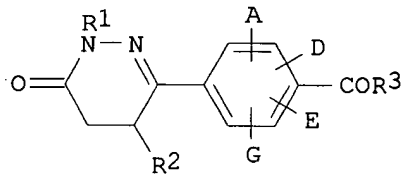
GI



I

AB Title compds. [I; A, D, E, G = H, halo, CF3, OH, alkyl, alkoxy; R1, R2 = H, alkyl; R3 = OR4, NR5R6; R4 = vinyl, allyl, (substituted) cycloalkyl, alkyl, aryl; R5 = H, alkyl; R6 = (substituted) cycloalkyl, aryl, heteroaryl, tetrahydrobenzothienyl], were prepd. as erythropoiesis stimulators (no data). Thus, 4-(4-methyl-1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)benzoic acid imidazolide (prepn. given) was stirred with 2-thienylethylamine in dioxane at 100.degree. for 5 h to give 63.8% 4-(4-methyl-1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)benzoic acid 2-(2-thienylethyl)amide.

IT **316819-82-6P 316819-83-7P 316819-84-8P**

316819-85-9P 316819-86-0P 316819-87-1P
316819-89-3P 316819-90-6P 316819-91-7P
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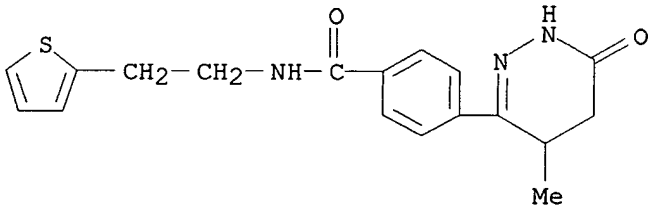
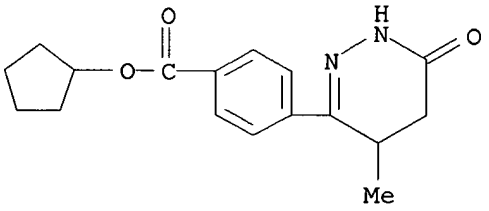
316820-40-3P 316820-41-4P 316820-42-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 6-carboxyphenyldihydropyridazinones for treatment of anemia)

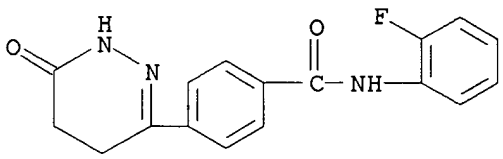
RN 316819-82-6 CAPLUS
CN Benzamide, 4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)-N-[2-(2-thienyl)ethyl]- (9CI) (CA INDEX NAME)



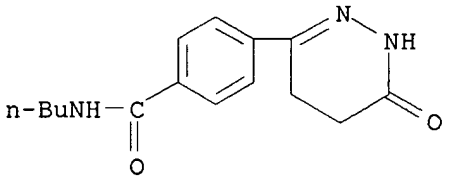
RN 316819-83-7 CAPLUS
CN Benzoic acid, 4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)-, cyclopentyl ester (9CI) (CA INDEX NAME)



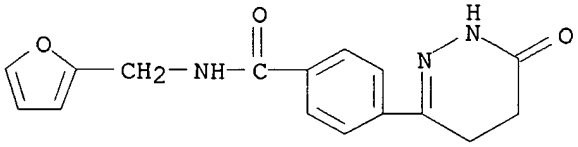
RN 316819-84-8 CAPLUS
CN Benzamide, N-(2-fluorophenyl)-4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



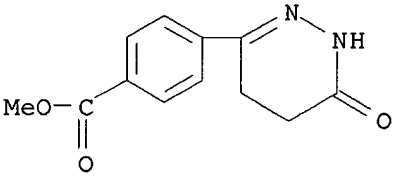
RN 316819-85-9 CAPLUS
CN Benzamide, N-butyl-4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



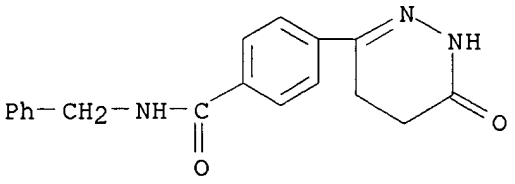
RN 316819-86-0 CAPLUS
CN Benzamide, N-(2-furanylmethyl)-4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



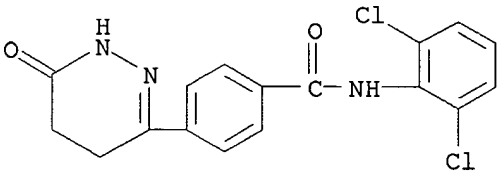
RN 316819-87-1 CAPLUS
CN Benzoic acid, 4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)-, methyl ester (9CI) (CA INDEX NAME)



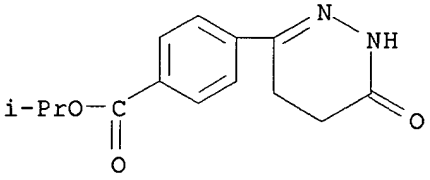
RN 316819-89-3 CAPLUS
CN Benzamide, N-(phenylmethyl)-4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



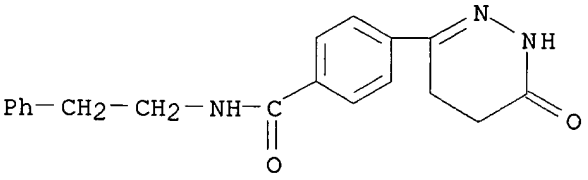
RN 316819-90-6 CAPLUS
CN Benzamide, N-(2,6-dichlorophenyl)-4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



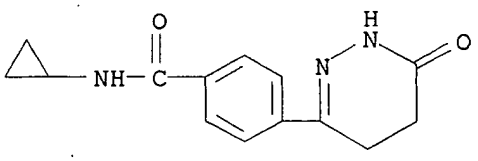
RN 316819-91-7 CAPLUS
CN Benzoic acid, 4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)-, 1-methylethyl ester (9CI) (CA INDEX NAME)



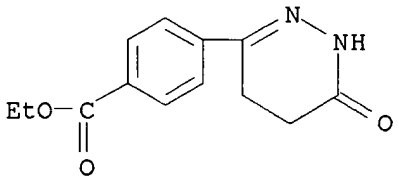
RN 316819-92-8 CAPLUS
CN Benzamide, N-(2-phenylethyl)-4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



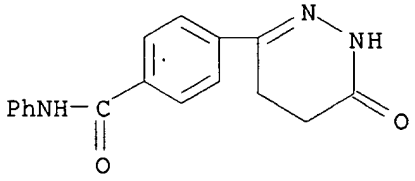
RN 316819-93-9 CAPLUS
CN Benzamide, N-cyclopropyl-4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



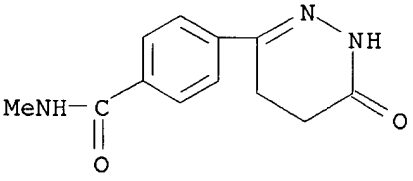
RN 316819-94-0 CAPLUS
CN Benzoic acid, 4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)-, ethyl ester (9CI) (CA INDEX NAME)



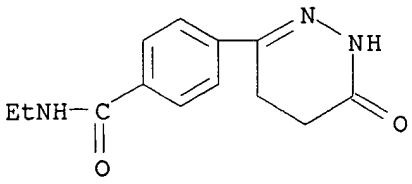
RN 316819-95-1 CAPLUS
CN Benzamide, N-phenyl-4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



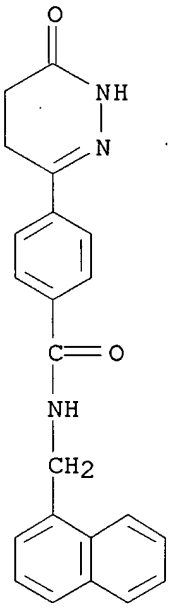
RN 316819-96-2 CAPLUS
CN Benzamide, N-methyl-4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



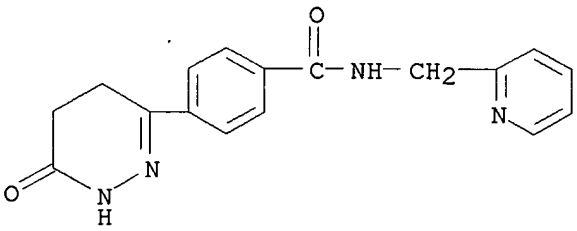
RN 316819-97-3 CAPLUS
CN Benzamide, N-ethyl-4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



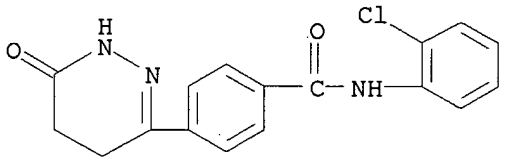
RN 316819-98-4 CAPLUS
CN Benzamide, N-(1-naphthalenylmethyl)-4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



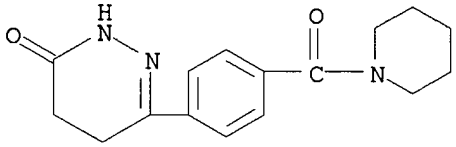
RN 316819-99-5 CAPLUS
CN Benzamide, N-(2-pyridinylmethyl)-4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



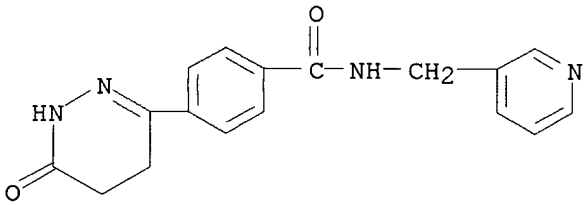
RN 316820-00-5 CAPLUS
CN Benzamide, N-(2-chlorophenyl)-4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



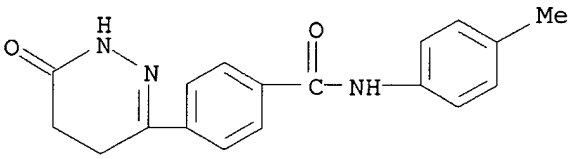
RN 316820-01-6 CAPLUS
CN Piperidine, 1-[4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)benzoyl]- (9CI) (CA INDEX NAME)



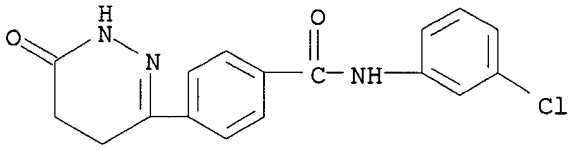
RN 316820-02-7 CAPLUS
CN Benzamide, N-(3-pyridinylmethyl)-4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



RN 316820-03-8 CAPLUS
CN Benzamide, N-(4-methylphenyl)-4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)

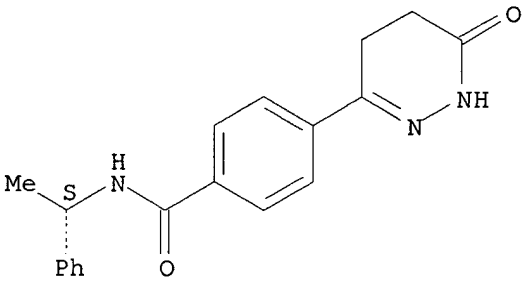


RN 316820-04-9 CAPLUS
CN Benzamide, N-(3-chlorophenyl)-4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)

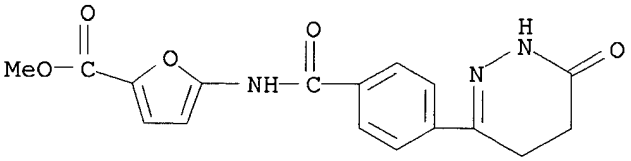


RN 316820-05-0 CAPLUS
CN Benzamide, N-[(1S)-1-phenylethyl]-4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)

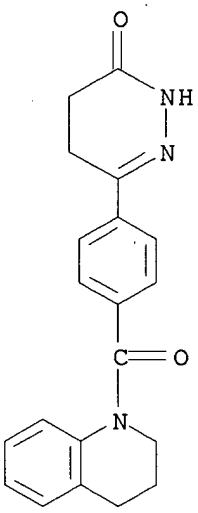
Absolute stereochemistry.



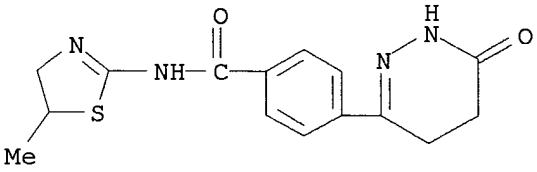
RN 316820-06-1 CAPLUS
CN 2-Furancarboxylic acid, 5-[[4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)benzoyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



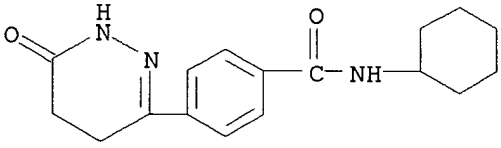
RN 316820-07-2 CAPLUS
CN Quinoline, 1,2,3,4-tetrahydro-1-[4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)benzoyl]- (9CI) (CA INDEX NAME)



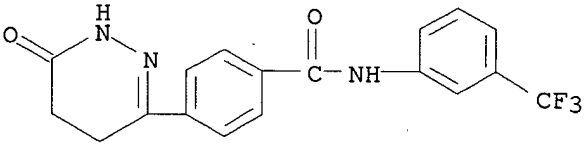
RN 316820-08-3 CAPLUS
CN Benzamide, N-(4,5-dihydro-5-methyl-2-thiazolyl)-4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



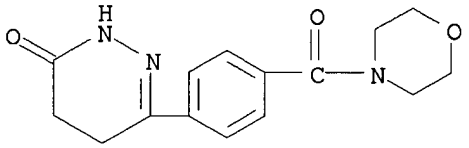
RN 316820-09-4 CAPLUS
CN Benzamide, N-cyclohexyl-4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



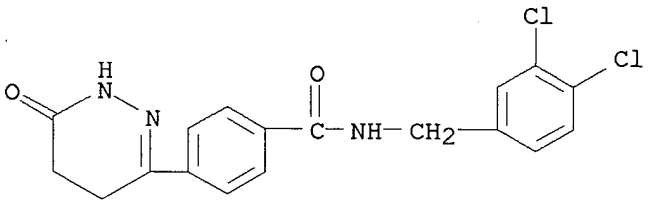
RN 316820-10-7 CAPLUS
CN Benzamide, 4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



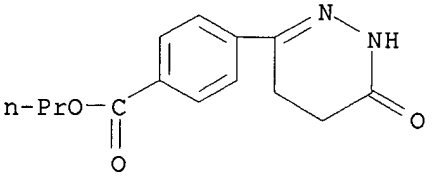
RN 316820-11-8 CAPLUS
CN Morpholine, 4-[4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)benzoyl]- (9CI) (CA INDEX NAME)



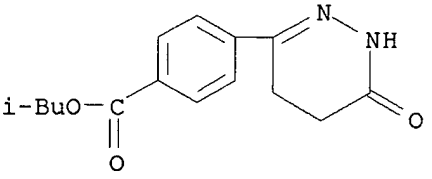
RN 316820-12-9 CAPLUS
CN Benzamide, N-[(3,4-dichlorophenyl)methyl]-4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



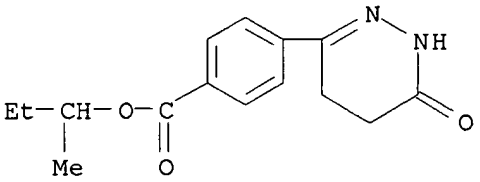
RN 316820-13-0 CAPLUS
CN Benzoic acid, 4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)-, propyl ester (9CI) (CA INDEX NAME)



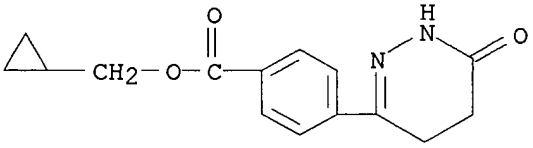
RN 316820-14-1 CAPLUS
CN Benzoic acid, 4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)-, 2-methylpropyl ester (9CI) (CA INDEX NAME)



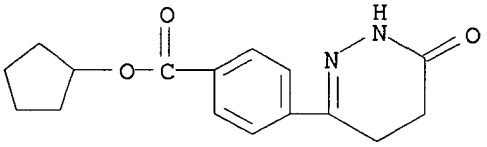
RN 316820-15-2 CAPLUS
CN Benzoic acid, 4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)-, 1-methylpropyl ester (9CI) (CA INDEX NAME)



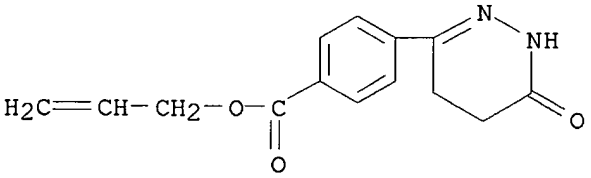
RN 316820-16-3 CAPLUS
CN Benzoic acid, 4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)-, cyclopropylmethyl ester (9CI) (CA INDEX NAME)



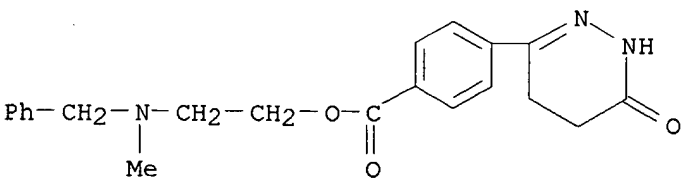
RN 316820-17-4 CAPLUS
CN Benzoic acid, 4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)-, cyclopentyl ester (9CI) (CA INDEX NAME)



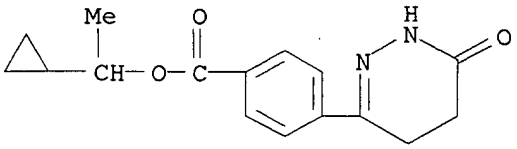
RN 316820-18-5 CAPLUS
CN Benzoic acid, 4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)-, 2-propenyl ester (9CI) (CA INDEX NAME)



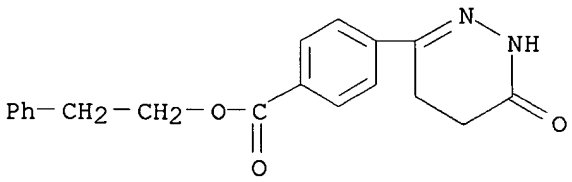
RN 316820-19-6 CAPLUS
CN Benzoic acid, 4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)-, 2-[methyl(phenylmethyl)amino]ethyl ester (9CI) (CA INDEX NAME)



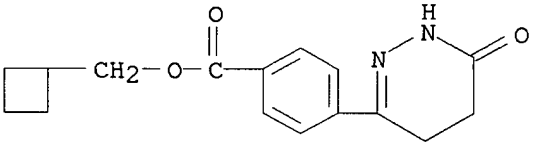
RN 316820-20-9 CAPLUS
CN Benzoic acid, 4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)-, 1-cyclopropylethyl ester (9CI) (CA INDEX NAME)



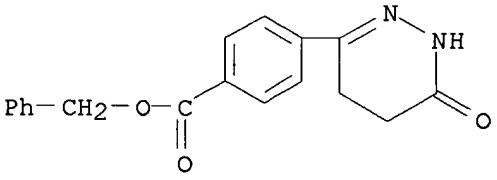
RN 316820-21-0 CAPLUS
CN Benzoic acid, 4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)-, 2-phenylethyl ester (9CI) (CA INDEX NAME)



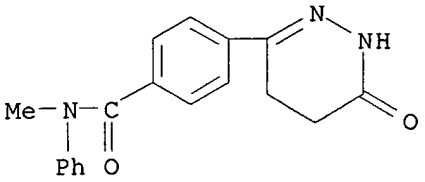
RN 316820-22-1 CAPLUS
CN Benzoic acid, 4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)-, cyclobutylmethyl ester (9CI) (CA INDEX NAME)



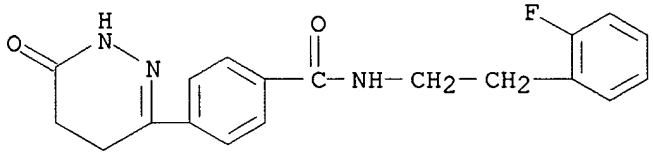
RN 316820-23-2 CAPLUS
CN Benzoic acid, 4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



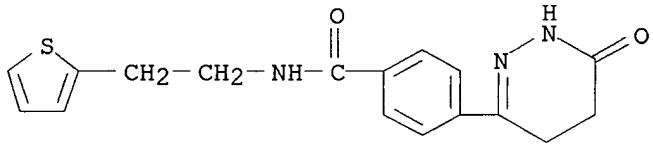
RN 316820-24-3 CAPLUS
CN Benzamide, N-methyl-N-phenyl-4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



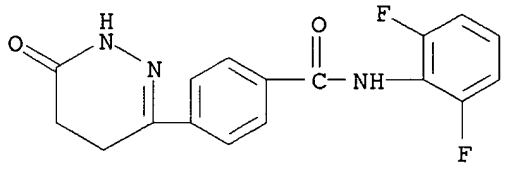
RN 316820-25-4 CAPLUS
CN Benzamide, N-[2-(2-fluorophenyl)ethyl]-4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



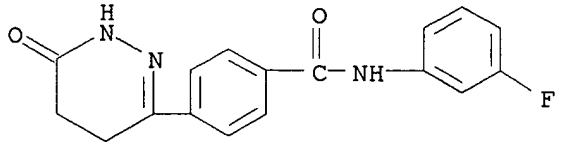
RN 316820-26-5 CAPLUS
CN Benzamide, 4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)-N-[2-(2-thienyl)ethyl]- (9CI) (CA INDEX NAME)



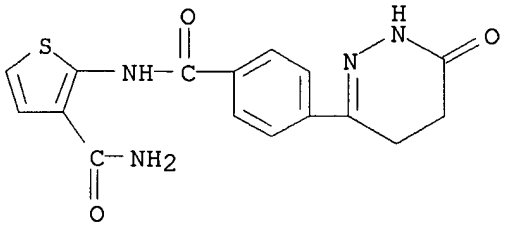
RN 316820-27-6 CAPLUS
CN Benzamide, N-(2,6-difluorophenyl)-4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



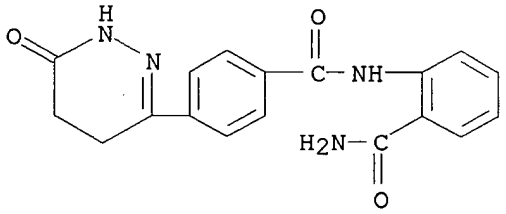
RN 316820-28-7 CAPLUS
CN Benzamide, N-(3-fluorophenyl)-4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



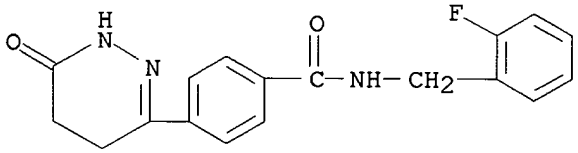
RN 316820-29-8 CAPLUS
CN 3-Thiophenecarboxamide, 2-[[4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)benzoyl]amino]- (9CI) (CA INDEX NAME)



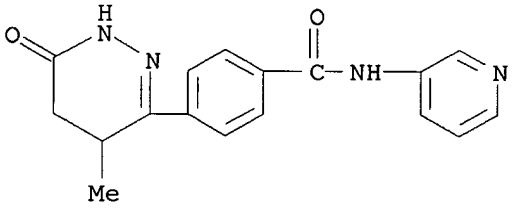
RN 316820-30-1 CAPLUS
CN Benzamide, N-[2-(aminocarbonyl)phenyl]-4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



RN 316820-31-2 CAPLUS
CN Benzamide, N-[(2-fluorophenyl)methyl]-4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)

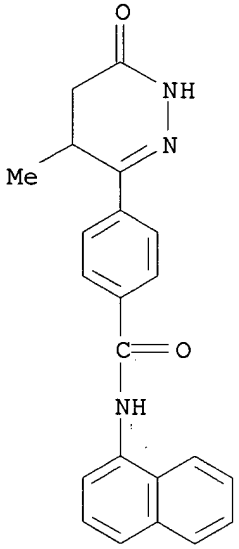


RN 316820-32-3 CAPLUS
CN Benzamide, N-3-pyridinyl-4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)

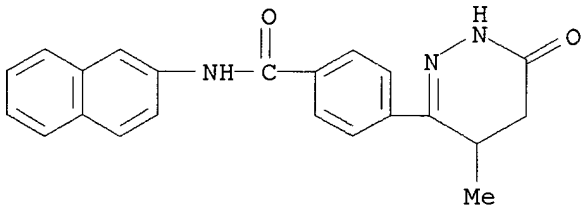


RN 316820-33-4 CAPLUS

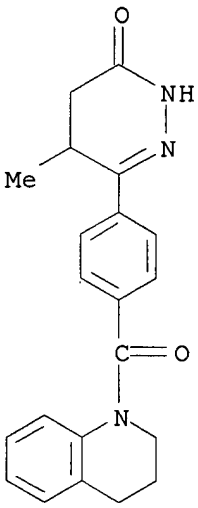
CN Benzamide, N-1-naphthalenyl-4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



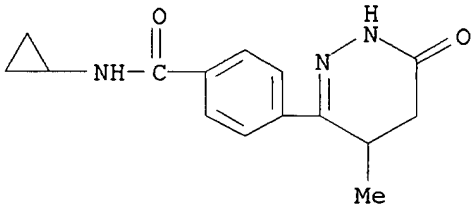
RN 316820-34-5 CAPLUS
CN Benzamide, N-2-naphthalenyl-4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



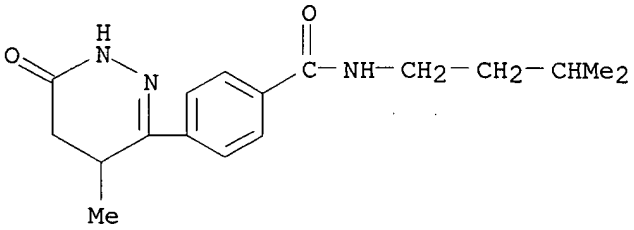
RN 316820-35-6 CAPLUS
CN Quinoline, 1,2,3,4-tetrahydro-1-[4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)benzoyl]- (9CI) (CA INDEX NAME)



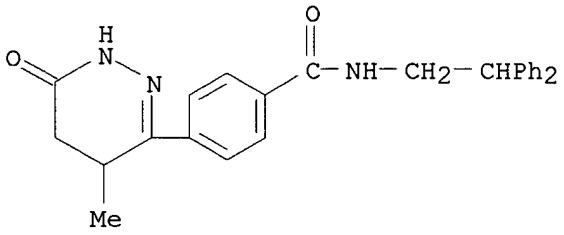
RN 316820-36-7 CAPLUS
CN Benzamide, N-cyclopropyl-4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



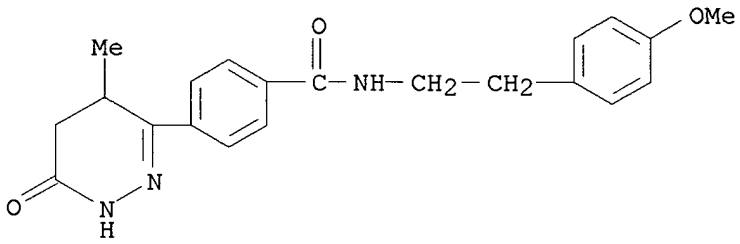
RN 316820-37-8 CAPLUS
CN Benzamide, N-(3-methylbutyl)-4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



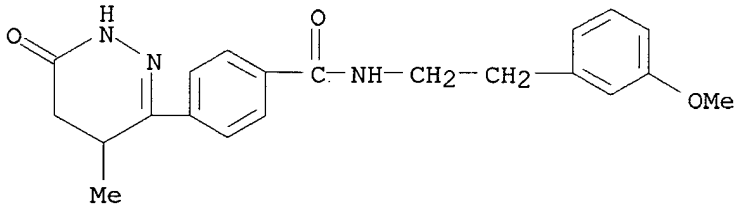
RN 316820-38-9 CAPLUS
CN Benzamide, N-(2,2-diphenylethyl)-4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



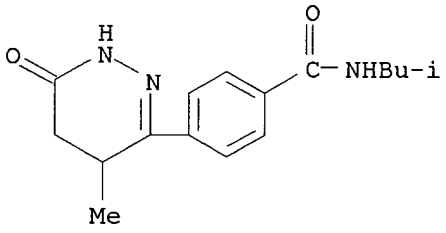
RN 316820-39-0 CAPLUS
CN Benzamide, N-[2-(4-methoxyphenyl)ethyl]-4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



RN 316820-40-3 CAPLUS
CN Benzamide, N-[2-(3-methoxyphenyl)ethyl]-4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)

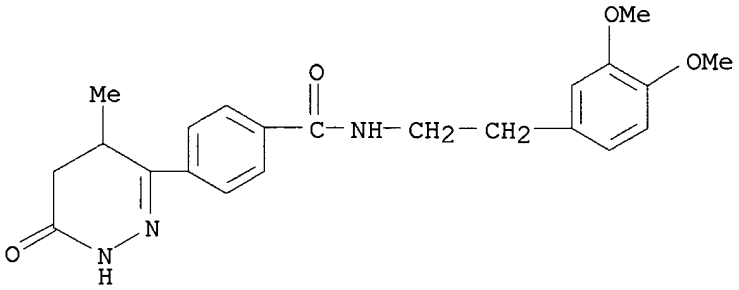


RN 316820-41-4 CAPLUS
CN Benzamide, N-(2-methylpropyl)-4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)

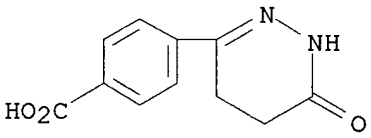


RN 316820-42-5 CAPLUS
CN Benzamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-4-(1,4,5,6-tetrahydro-4-methyl-

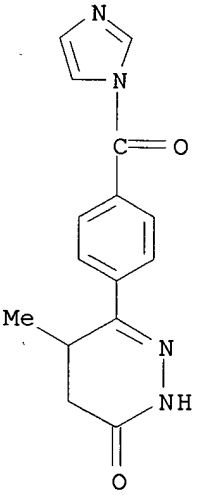
6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



IT **52239-83-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of 6-carboxyphenyldihydropyridazinones for treatment of anemia)
RN 52239-83-5 CAPLUS
CN Benzoic acid, 4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



IT **316820-44-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 6-carboxyphenyldihydropyridazinones for treatment of anemia)
RN 316820-44-7 CAPLUS
CN 1H-Imidazole, 1-[4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)benzoyl]- (9CI) (CA INDEX NAME)

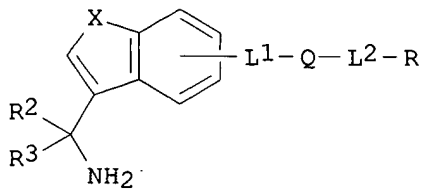


RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

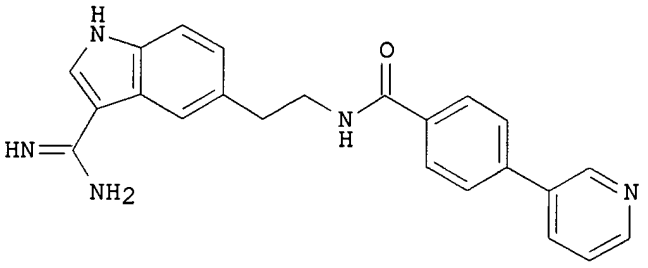
L4 ANSWER 4 OF 23 CAPLUS COPYRIGHT 2003 ACS
AN 2000:457028 CAPLUS
DN 133:89545
TI Substituted (aminoiminomethyl- or aminomethyl)benzoheteroaryl compounds useful as anticoagulants
IN Dankulich, William P.; McGarry, Daniel G.; Burns, Christopher; Gallagher, Timothy F.; Volz, Francis A.
PA Aventis Pharmaceuticals Products Inc., USA
SO PCT Int. Appl., 215 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000039087	A2	20000706	WO 1999-US30623	19991222
	WO 2000039087	A3	20001109		
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2358047	AA	20000706	CA 1999-2358047	19991222
	EP 1140901	A2	20011010	EP 1999-966560	19991222
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 9916845	A	20011030	BR 1999-16845	19991222
	JP 2002533438	T2	20021008	JP 2000-590999	19991222
	EE 200100341	A	20021216	EE 2001-200100341	19991222
	NO 2001003142	A	20010821	NO 2001-3142	20010622
PRAI	US 1998-113710P	A2	19981224		
	WO 1999-US30623	W	19991222		

OS MARPAT 133:89545
GI



I



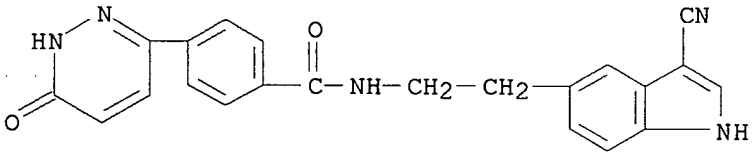
II

AB The invention is directed to (aminoiminomethyl)- or (aminomethyl)-substituted benzoheteroaryl compds. I, which are useful as inhibitors of Factor Xa (no data) [wherein X = O, S, NH or derivs.; L1 = alkylene, alkenylene, alkynylene; L2 = bond, or as given for L1; Q = NH or derivs., O, CO, COO, OCO, NHCO or derivs., S(O)0-2, SO2NH or derivs, etc.; R = H, cycloalkyl, heterocyclyl, aryl, wide range of other cyclic groups; R2, R3 = H; or R2R3 = NH or derivs.]. The invention is also directed to compns. contg. the compds., methods for their prepn., and their use, e.g., in the inhibition of thrombin formation, or for treating a patient suffering from, or subject to, a disease state assocd. with excess thrombin. Approx. 160 examples were prepd. and claimed, and hundreds of intermediates were prepd. For instance, 4-(pyrid-3-yl)benzoic acid underwent amidation with 3-cyano-5-(2-aminoethyl)indole using TBTU and DIEA, and the product nitrile was treated with HCl(g) in MeOH followed by NH3 in MeOH, to give the invention compd. II.

IT **281233-43-0P**, N-[2-(3-Cyano-1H-indol-5-yl)ethyl]-4-(6-oxo-1,6-dihydropyridazin-3-yl)benzamide **281233-44-1P**, 4-(6-Oxo-1,6-dihydropyridazin-3-yl)benzoic acid methyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of substituted (aminoiminomethyl- or aminomethyl)benzoheteroaryl compds. as anticoagulants)

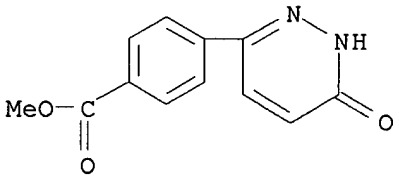
RN 281233-43-0 CAPLUS

CN Benzamide, N-[2-(3-cyano-1H-indol-5-yl)ethyl]-4-(1,6-dihydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



RN 281233-44-1 CAPLUS

CN Benzoic acid, 4-(1,6-dihydro-6-oxo-3-pyridazinyl)-, methyl ester (9CI) (CA INDEX NAME)

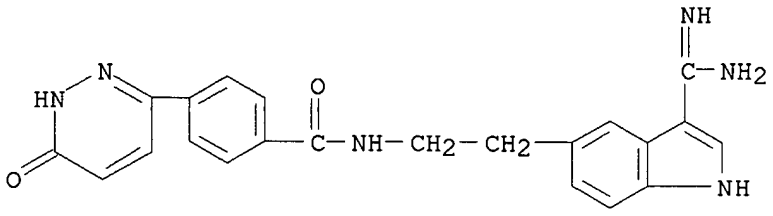


IT **281230-69-1P**, N-[2-(3-Carbamimidoyl-1H-indol-5-yl)ethyl]-4-(6-oxo-1,6-dihydropyridazin-3-yl)benzamide **281235-31-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compd.; prepn. of substituted (aminoiminomethyl- or aminomethyl)benzoheteroaryl compds. as anticoagulants)

RN 281230-69-1 CAPLUS

CN Benzamide, N-[2-[3-(aminoiminomethyl)-1H-indol-5-yl]ethyl]-4-(1,6-dihydro-

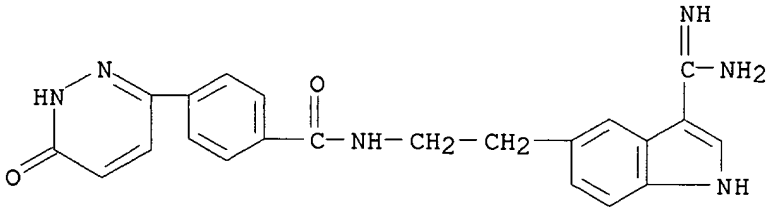
6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



RN 281235-31-2 CAPLUS
CN Benzamide, N-[2-[3-(aminoiminomethyl)-1H-indol-5-yl]ethyl]-4-(1,6-dihydro-6-oxo-3-pyridazinyl)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

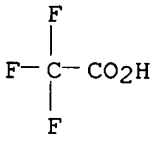
CM 1

CRN 281230-69-1
CMF C22 H20 N6 O2



CM 2

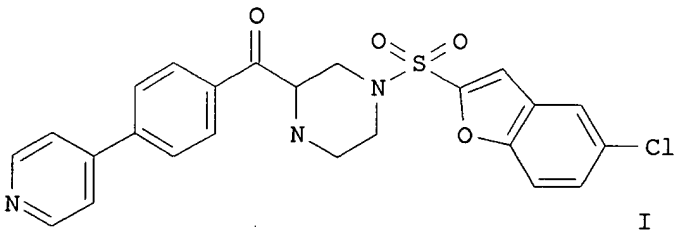
CRN 76-05-1
CMF C2 H F3 O2



L4 ANSWER 5 OF 23 CAPLUS COPYRIGHT 2003 ACS
AN 1999:723030 CAPLUS
DN 131:322629
TI Preparation of 1-heteroarylsulfonyl-4-heteroarylbenzoylpiperazines and analogs as Factor Xa inhibitors
IN Caulkett, Peter William Rodney; James, Roger; Pearson, Stuart Eric; Slater, Anthony Michael; Walker, Rolf Peter
PA Zeneca Limited, UK
SO PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9957113	A1	19991111	WO 1999-GB1308	19990427
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2331042	AA	19991111	CA 1999-2331042	19990427
	AU 9936206	A1	19991123	AU 1999-36206	19990427
	AU 754453	B2	20021114		
	BR 9910179	A	20010109	BR 1999-10179	19990427
	EP 1082321	A1	20010314	EP 1999-918178	19990427
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	EE 200000527	A	20020215	EE 2000-20000052719990427	
	NO 2000005497	A	20001221	NO 2000-5497	20001101
PRAI	GB 1998-9351	A	19980502		
	GB 1999-3337	A	19990216		
	WO 1999-GB1308	W	19990427		

OS MARPAT 131:322629
GI



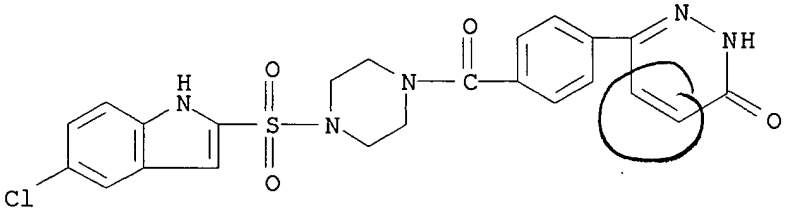
I

AB RZCOZ1SO2R1 [R = (un)substituted heteroaryl; R1 = (un)substituted 2-indolyl, -2-benzimidazolyl, -2-benzo[b]furanyl, etc.; Z = (un)substituted 1,4-phenylene; Z1 = (un)substituted piperidine-4,1-diyl or -piperazine-1,4-diyl] were prepd. Thus, 5-chlorobenzo[b]furan-2-sulfonyl

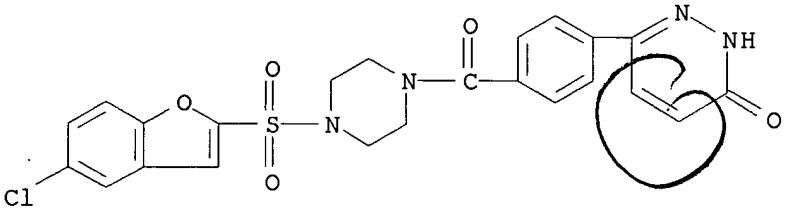
chloride was amidated by piperazine and the product amidated by 4-(4-pyridyl)benzoic acid to give title compd. I. Data for biol. activity of I were given.

IT **249292-10-2P 249292-23-7P 249292-24-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1-heteroarylsulfonyl-4-heteroarylbenzoylpiperazines and analogs as Factor Xa inhibitors)

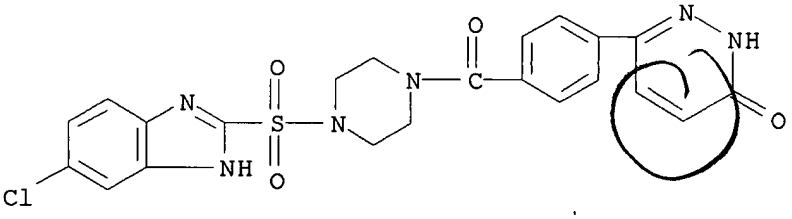
RN 249292-10-2 CAPLUS
CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(1,6-dihydro-6-oxo-3-pyridazinyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 249292-23-7 CAPLUS
CN Piperazine, 1-[(5-chloro-2-benzofuranyl)sulfonyl]-4-[4-(1,6-dihydro-6-oxo-3-pyridazinyl)benzoyl]- (9CI) (CA INDEX NAME)

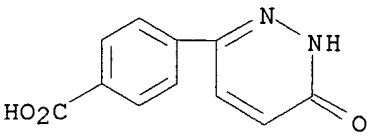


RN 249292-24-8 CAPLUS
CN Piperazine, 1-[(5-chloro-1H-benzimidazol-2-yl)sulfonyl]-4-[4-(1,6-dihydro-6-oxo-3-pyridazinyl)benzoyl]- (9CI) (CA INDEX NAME)



IT **249292-44-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of 1-heteroarylsulfonyl-4-heteroarylbenzoylpiperazines and analogs as Factor Xa inhibitors)

RN 249292-44-2 CAPLUS
CN Benzoic acid, 4-(1,6-dihydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)

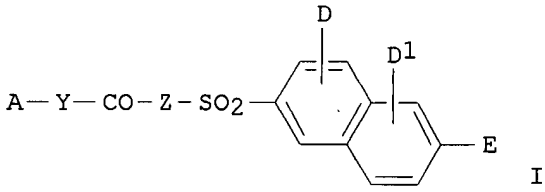


RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

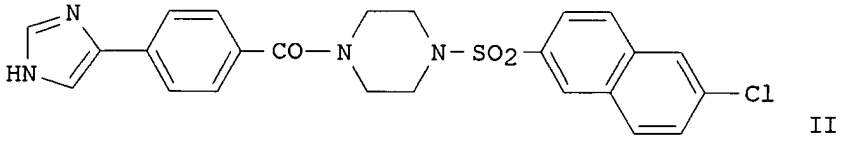
L4 ANSWER 6 OF 23 CAPLUS COPYRIGHT 2003 ACS
AN 1999:723017 CAPLUS
DN 131:337034
TI Preparation of 1-naphthylsulfonyl-4-heteroarylbenzoylpiperazines and analogs as Factor Xa inhibitors
IN Nowak, Thorsten; Preston, John; Rayner, John Wall; Smithers, Michael James; Stocker, Andrew
PA Zeneca Limited, UK
SO PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9957099	A1	19991111	WO 1999-GB1312	19990427
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9936207	A1	19991123	AU 1999-36207	19990427
	EP 1082303	A1	20010314	EP 1999-918179	19990427
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	US 6395731	B1	20020528	US 2000-674563	20001220
PRAI	GB 1998-9349	A	19980502		
	WO 1999-GB1312	W	19990427		

OS MARPAT 131:337034
GI



I



II

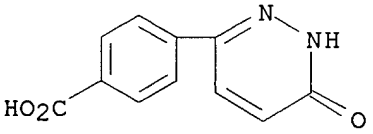
AB Title compds. (I) [where A = 5- or 6-membered monocyclic heteroaryl (un)substituted by 1-3 halo, oxo, CO2H, CF3, CN, NH2, OH, NO2, (amino)alkyl, alkoxy(carbonyl), and/or (di)alkylamino; Y = (un)substituted

phenylene; Z = (un)substituted piperidine-4,1-diyl or piperazine-1,4-diyl; D and D1 = independently H, alkyl, alkenyl, alkynyl, oxo, or OH; E = F, Cl, or Br] were prepd. as antithrombotics and anticoagulants. Thus, 4-(4-imidazolyl)benzoic acid HCl (2-step prepn. given) was amidated with 1-(6-chloronaphth-2-ylsulfonyl)piperazine to yield the title imidazolylbenzoylpiperazine (II). The IC50 values of invention compds. ranged from 0.001 to 0.1 .mu.M for Factor Xa inhibition and were > 40 .mu.M for thrombin inhibition (no individual data given). Data for anticoagulant activity of I in conventional prothrombin time tests were given.

IT **249292-44-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; prepn. of 1-naphthylsulfonyl-4-heteroarylbenzoylpiperazines and analogs as Factor Xa inhibitors for treatment of thrombosis mediated diseases and coagulation disorders)

RN 249292-44-2 CAPLUS

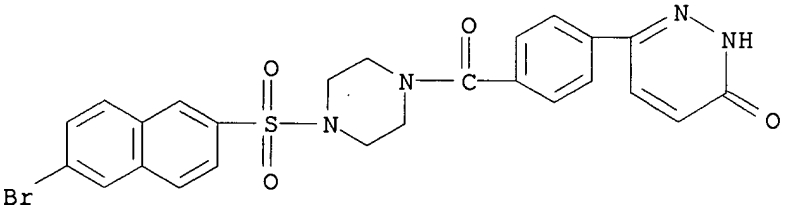
CN Benzoic acid, 4-(1,6-dihydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



IT **249887-61-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(target compd.; prepn. of 1-naphthylsulfonyl-4-heteroarylbenzoylpiperazines and analogs as Factor Xa inhibitors for treatment of thrombosis mediated diseases and coagulation disorders)

RN 249887-61-4 CAPLUS

CN Piperazine, 1-[(6-bromo-2-naphthalenyl)sulfonyl]-4-[4-(1,6-dihydro-6-oxo-3-pyridazinyl)benzoyl]- (9CI) (CA INDEX NAME)

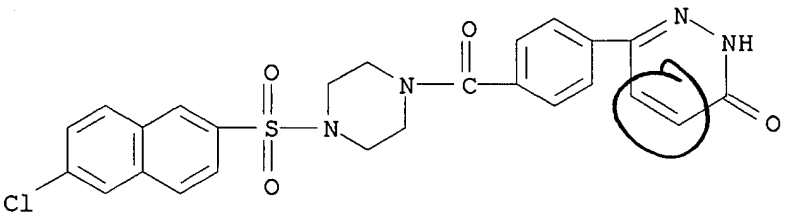


IT **249887-46-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compd.; prepn. of 1-naphthylsulfonyl-4-heteroarylbenzoylpiperazines and analogs as Factor Xa inhibitors for treatment of thrombosis mediated diseases and coagulation disorders)

RN 249887-46-5 CAPLUS

CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[4-(1,6-dihydro-6-oxo-

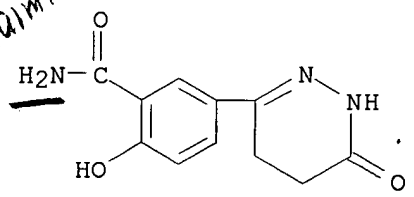
3-pyridazinyl)benzoyl]- (9CI) (CA INDEX NAME)



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 23 CAPLUS COPYRIGHT 2003 ACS
AN 1999:458498 CAPLUS
DN 131:243233
TI Synthesis of novel 4,5-dihydropyridazin-3(2H)-one derivatives with benzoxazine and benzoxazole heterocycles at the 6-position as cardiotonic agents
AU Abou-Zeid, K. A. M.; Youssef, Khairia M.; Shaaban, M. A.; El Telbany, F. A.; Al - Zanfaly, S. H.
CS Organic Chemistry Department, Faculty of Pharmacy, Cairo University, Cairo, Egypt
SO Egyptian Journal of Pharmaceutical Sciences (1998), Volume Date 1997, 38(4-6), 303-317
CODEN: EJPSBZ; ISSN: 0301-5068
PB National Information and Documentation Centre
DT Journal
LA English
AB A series of pyridazinone derivs. carrying benzo heterocycles such as benzoxazole and benzoxazine was synthesized and tested as inhibitors of cAMP phosphodiesterase enzyme (PDE). The most promising compd. in this series was 6-[2,4-dioxo-3,4-dihydro-1,3(2H)-benzoxazin-6-yl]-4,5-dihydropyridazin-3(2H)-one, which has shown potent inhibiting activity on cAMP PDE and was ten times more potent than milrinone (a com. available cardiotonic agent).
IT **244303-94-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of pyridazinone derivs. as inhibitors of cAMP phosphodiesterase enzyme)
RN 244303-94-4 CAPLUS
CN Benzamide, 2-hydroxy-5-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)

no claimed

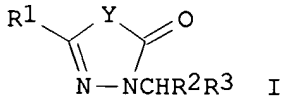


RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 23 CAPLUS COPYRIGHT 2003 ACS
AN 1997:346854 CAPLUS
DN 126:317387
TI Preparation of 2-(1,3-benzodioxol-5-yl)-2,3-dihydropyridazin-3-on-2-ylacetates and related compounds as endothelin receptor antagonists.
IN Dorsch, Dieter; Oswald, Mathias; Mederski, Werner; Wilm, Claudia; Schmitges, Claus; Christadler, Mara
PA Merck Patent Gmbh, Germany
SO Ger. Offen., 28 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19537548	A1	19970410	DE 1995-19537548	19951009
	CA 2207243	AA	19970417	CA 1996-2207243	19960919
	WO 9713758	A1	19970417	WO 1996-EP4111	19960919
	W: AU, BR, CA, CN, CZ, HU, JP, KR, MX, NO, PL, RU, SK, UA, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9672119	A1	19970430	AU 1996-72119	19960919
	EP 796250	A1	19970924	EP 1996-933341	19960919
	R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	BR 9606668	A	19970930	BR 1996-6668	19960919
	CN 1168137	A	19971217	CN 1996-191388	19960919
	JP 10511118	T2	19981027	JP 1996-514665	19960919
	ZA 9608483	A	19970520	ZA 1996-8483	19961008
	NO 9702612	A	19970808	NO 1997-2612	19970606
	US 5883090	A	19990316	US 1997-849344	19970606
PRAI	DE 1995-19537548	A	19951009		
	WO 1996-EP4111	W	19960919		

OS MARPAT 126:317387
GI



I

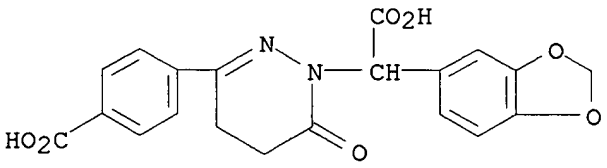
AB Title compds. [I; Y = CR4R41CR4R41, CR4:CR41, CR4R41S; R1 = (substituted) Ph, naphthyl, heterocyclyl, R3, R4; R2 = (substituted) (anellated) aryl; R3 = cyano, CO2H, (modified) alkylcarbonyl, sulfonylaminocarbonyl, tetrazol-5-yl; R4, R41 = H, (modified) alkyl; R5 = (modified) alkyl, (substituted) aryl], were prepd. for treatment of hypertension, heart failure, kidney failure, brain infarct, coronary heart disease, renal, cerebral, and myocardial ischemia, subarachnoid hemorrhage, inflammation, asthma, and endotoxic shock (no data). Thus, 2,3-dihydro-4,6-dimethylpyridazin-3-one, 2-(1,3-benzodioxol-5-yl)-2-bromo-N-(4-isopropylphenylsulfonyl)acetamide, and Cs2CO3 were stirred 2 h in DMF to give 2-(1,3-benzodioxol-5-yl)-2-(2,3-dihydro-4,6-dimethylpyridazin-3-on-2-yl)-N-(4-isopropylphenylsulfonyl)acetamide.

IT **189369-92-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

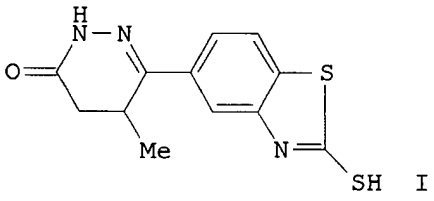
(prepn. of 2-(1,3-benzodioxol-5-yl)-2,3-dihydropyridazin-3-on-2-ylacetates and related compds. as endothelin receptor antagonists)

RN 189369-92-4 CAPLUS

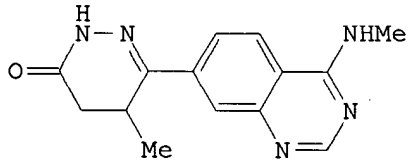
CN 1(4H)-Pyridazineacetic acid, .alpha.-1,3-benzodioxol-5-yl-3-(4-carboxyphenyl)-5,6-dihydro-6-oxo- (9CI) (CA INDEX NAME)



L4 ANSWER 9 OF 23 CAPLUS COPYRIGHT 2003 ACS
AN 1991:429229 CAPLUS
DN 115:29229
TI Studies on cardiotonic agents. VI. Synthesis of novel 4,5-dihydro-3(2H)-pyridazinone derivatives carrying some benzoheterocycles at the 6-position
AU Nomoto, Yuji; Takaj, Haruki; Ohno, Tetsuji; Kubo, Kazuhiro
CS Pharm. Res. Lab., Fuji, Kyowa Hakko Kogyo Co., Ltd., Shizuoka, 411, Japan
SO Chemical & Pharmaceutical Bulletin (1991), 39(2), 352-7
CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English
OS CASREACT 115:29229
GI



I

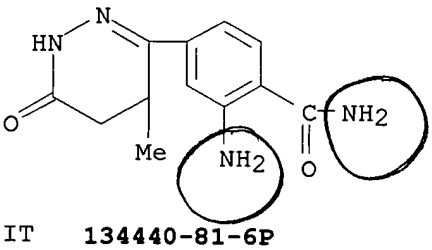


II

AB Several benzothiazolyl, imidazobenzothiazolyl, benzothienyl, benzothienopyrimidinyl and quinazolinyl 4,5-dihydro-3(2H)-pyridazinones were synthesized and examd. for cardiotonic activity in anesthetized dogs after i.v. administration. Thus, cyclocondensation of 3-(3-amino-4-chlorobenzoyl)butyric acid with CS2 and H2NNH2 gives (mercaptobenzothiazolyl)methylpyridazinone I. (Methylamino)pyridazinylquinazoline II showed potent and long-lasting inotropic activity (relative potency = 2.11, milrinone = 1). II was more potent than indolidan (relative potency = 1.53) which is one of the most potent inotropic agents to date.

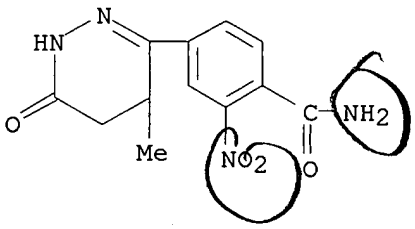
IT **134440-82-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and cyclocondensation of, with formic acid and acyl chlorides)

RN 134440-82-7 CAPLUS
CN Benzamide, 2-amino-4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)-(9CI) (CA INDEX NAME)

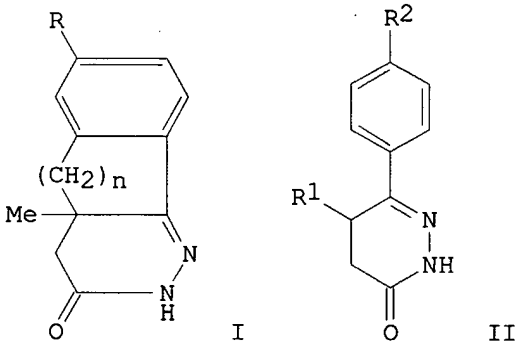


IT **134440-81-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

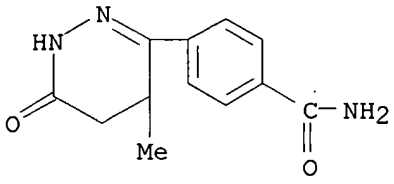
(prepn. and redn. of)
RN 134440-81-6 CAPLUS
CN Benzamide, 2-nitro-4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)-
(9CI) (CA INDEX NAME)



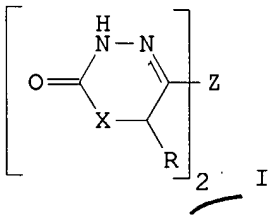
L4 ANSWER 10 OF 23 CAPLUS COPYRIGHT 2003 ACS
AN 1991:185420 CAPLUS
DN 114:185420
TI Inotropic, vasodilator and low Km, cAMP-selective, cGMP-inhibited phosphodiesterase (PDE III) inhibitory activities of 4a-methyl-4,4a-dihydro-5H-indeno[1,2-c]pyridazin-3(2H)-ones and 4a-methyl-4,4a,5,6-tetrahydrobenzo[h]cinnolin-3(2H)-ones
AU Bakewell, S. J.; Coates, W. J.; Comer, M. B.; Reeves, M. L.; Warrington, B. H.
CS SmithKline and French Res. Ltd., Welwyn/Hertfordshire, AL6 9AR, UK
SO European Journal of Medicinal Chemistry (1990), 25(9), 765-74
CODEN: EJMCA5; ISSN: 0223-5234
DT Journal
LA English
OS CASREACT 114:185420
GI



AB Methylindenopyridazinones I (R = cyano, CONH2, NH2, NHAc, OMe, n = 1) and benzocinnolinones I (n = 2) were synthesized. Thus, I (R = cyano,, n = 1) was prepd. by hydroxymethylation of 4-bromopropiophenone followed by intramol. cyclocondensation to give 5-bromo-2-methylindan-1-one which was cyanated and alkylated with Et bromoacetate followed by cyclocondensation with hydrazine. Their PDE III inhibitory, inotropic and vasodilator potencies were compared with those of their normethyl analogs and their bicyclic 4,5-dihydro-6-phenylpyridazinone analogs II (R1 = H, Me, R2 = cyano, CONH2, NH2, OMe, NHAc). The structure-activity relationships of the tricyclic pyridazinones differ from those of bicyclic pyridazinones mainly in respect of the effect of introducing the Me group into the pyridazinone ring. While in the 4,5-dihydro-6-phenylpyridazin-3(2H)-ones, introduction of a 5-Me group has been widely reported to lead to compds. of significantly greater potency, the novel tricyclic 4a-methylpyridazinones I showed similar levels of inotropic, vasodilator and PDE III inhibitory potency to their normethyl analogs. Possible reasons for this difference in behavior are discussed.
IT **52240-83-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and inotropic and phosphodiesterase inhibitory activity of)
RN 52240-83-2 CAPLUS
CN Benzamide, 4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)


HN
N
O
Me
C
NH2
O

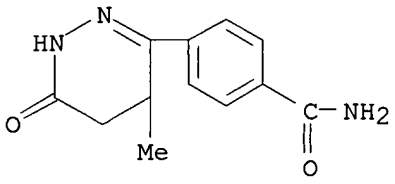
L4 ANSWER 11 OF 23 CAPLUS COPYRIGHT 2003 ACS
AN 1990:235248 CAPLUS
DN 112:235248
TI 1,4-Bis(3-oxo-2,3-dihydropyridazin-6-yl)benzene analogs: potent phosphodiesterase inhibitors and inodilators
AU Coates, William J.; Prain, H. Douglas; Reeves, Martin L.; Warrington, Brian H.
CS Smith Kline and French Res. Ltd., Welwyn/Hertfordshire, AL6 9AR, UK
SO Journal of Medicinal Chemistry (1990), 33(6), 1735-41
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 112:235248
GI



AB The title compds. I (R = H, Me; X = S, CH2; Z = 1,4-, 1,3-C6H4, 2,5-thienyl, 4-C6H4C6H4-4') were prepd. from bis(alkanoyl)benzenes by conversion to .gamma.-keto acids and treatment with N2H4. I were evaluated for inhibition of low Km, cAMP-selective, cGMP-inhibited phosophodiesterase (PDE III) and hemodynamic activity. The most potent PDE III inhibitor was I (R = Me, X = CH2, Z = 1,4-C6H4) which also retained the greatest inotropic and vasodilator potency. PDE III inhibitory potency is assocd. with overall planar topol. of the phenylpyridazinone moiety and the presence of two critically sepd. electroneg. centers. The generally higher level of PDE III inhibitory potency of I relative to 6-(4-substituted-phenyl)pyridazin-3(2H)-one derivs. (e.g. Sicar, I; et al., 1987, Moos, W.H.; et al., 1987) derives from a closer to optimal sepn. of two interacting points in the inhibitor mol. achieved through the more extended bis(azinone) structure. Correlation between the pharmacol. and PDE III inhibitory activities of I provides addnl. evidence for PDE III being an important mediator of inodilator action.

IT **52240-83-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(ionotropic, vasodilating, and phosphodiesterase inhibiting activities of)

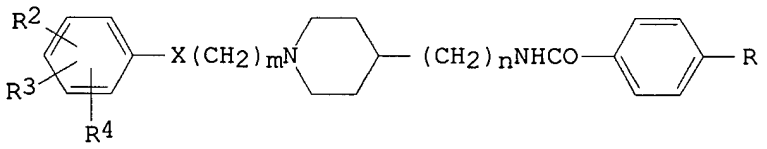
RN 52240-83-2 CAPLUS
CN Benzamide, 4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)


HN
N
O
Me
C
NH2
O

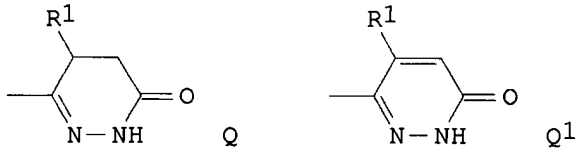
L4 ANSWER 12 OF 23 CAPLUS COPYRIGHT 2003 ACS
AN 1988:631045 CAPLUS
DN 109:231045
TI Preparation of piperidine-containing pyridazinone derivatives or their salts as cardiotonics
IN Okujima, Hiromi; Narimatsu, Akihiro; Kobayashi, Makio; Furuya, Rikizo; Kitada, Yoshi
PA Mitsubishi Kasei Corp., Japan
SO Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 63154683	A2	19880627	JP 1986-299566	19861216
PRAI JP 1986-299566		19861216		

OS MARPAT 109:231045
GI



I

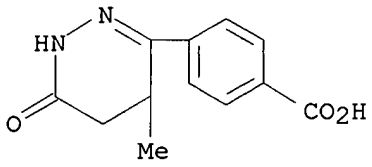


Q Q1

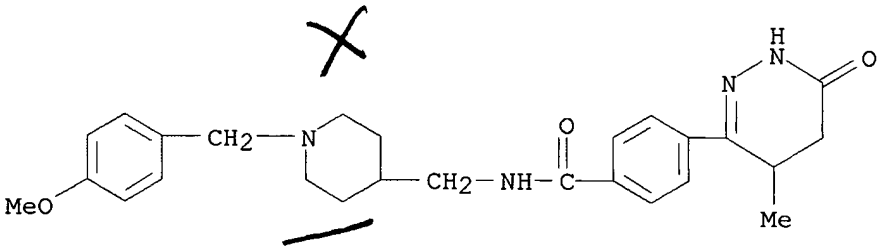
AB Title derivs. I (R = Q, Q1; R1 = H, C1-5 alkyl; R2 - R4 = H, C1-5 alkoxy, OH; 2 of R2 - R4 = OCH2O, OCH2CH2O; X = O, S, NR5, direct bond; R5 = H, C1-5 alkyl; m, n = 0-4; X = direct bond when m = 0) or their salts are prepd. as cardiotonics. 4-(Aminomethyl)piperidine was refluxed with PhCHO in toluene for 2 h, and the reaction mixt. was treated with Et3N and p-MeOC6H4CH2Cl at 80.degree. for 10 h, and then treated with H2O and concd. HCl at 80.degree. for 4 h to give 65% 4-aminomethyl-1-(4-methoxybenzyl)piperidine (II). A soln. of 0.23 g 4-(4-methyl-6-oxo-1,4,5,6-tetrahydropyridazin-3-yl)benzoic acid in DMF/THF was treated with Et3N and ClCO2Et at -20 to -30.degree., and the reaction mixt. was treated with 0.24 g II at -20.degree. for 20 min, and then at room temp. for 2 h to give I (R = Q, R1 = Me, R2 = R3 = H, R4 = 4-OMe, X = direct bond, m = n = 1), which was treated with an aq. HCl/EtOH to give 0.30 g its HCl salt (III). In guinea pig left atrium in vitro, III at 3 .times. 10-5 g/mL increased cardiac contractility by 77.3%.

IT **52240-81-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(amidation of, with (aminomethyl)piperidine deriv.)

RN 52240-81-0 CAPLUS
CN Benzoic acid, 4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



IT **117731-87-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as cardiotonic)
RN 117731-87-0 CAPLUS
CN Benzamide, N-[[1-[(4-methoxyphenyl)methyl]-4-piperidinyl]methyl]-4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)-, hydrochloride (9CI) (CA INDEX NAME)

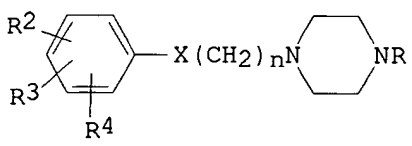


●x HCl

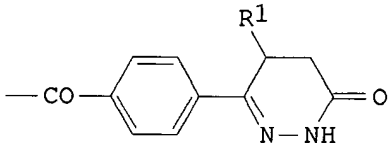
L4 ANSWER 13 OF 23 CAPLUS COPYRIGHT 2003 ACS
AN 1988:590451 CAPLUS
DN 109:190451
TI Preparation of 1-[4-(1,6-dihydro-6-oxopyridazin-3-yl)benzoyl]piperazine derivatives and their salts as cardiotonics
IN Okujima, Hiromi; Narimatsu, Akihiro; Kobayashi, Makio; Furuya, Rikizo; Tsuda, Kunio; Kitada, Yoshi
PA Mitsubishi Kasei Corp., Japan
SO Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 63154672	A2	19880627	JP 1986-300696	19861217
PRAI JP 1986-300696		19861217		

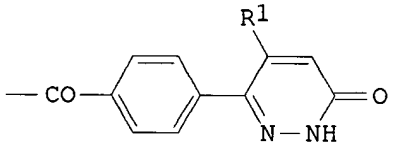
OS MARPAT 109:190451
GI



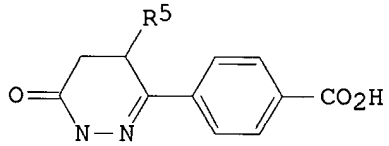
I



Q



Q1

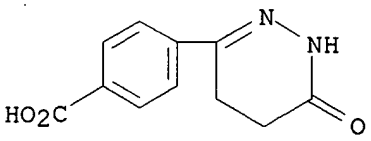


III

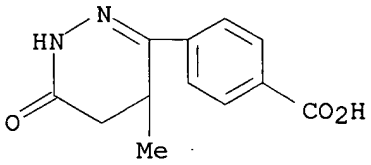
AB Title derivs. I (R = Q, Q1; R1 = H, C1-5 alkyl; R2 - R4 = H, C1-5 alkoxy, OH; 2 of R2 - R4 = OCH2O, OCH2CH2O; X = O, S, NR5; R5 = H, C1-5 alkyl; n = 1-4) and their salts are prepd. as cardiotonics. A soln. of o-MeOC6H4OCH2COCl in THF was added to a mixt. of piperazine HBr and aq. EtOH soln. at 0.degree. over 10 min and the mixt. was stirred at 0.degree. for 30 min and then at room temp. overnight to give 4-(2-methoxyphenoxyacetyl)piperazine, which was refluxed with LiAlH4 in THF at 90.degree. for 2 h to give 77% 4-(2-methoxyphenoxyethyl)piperazine (II). Pyridazinylbenzoic acid deriv. III (R5 = Me) (0.75 g) was treated with ClCO2Et and Et3N in DME/THF between -20 and -30.degree. and the reaction mixt. was treated with 0.76 g II at -20.degree. for 20 min under stirring and then at room temp. for 2 h to give I (R = Q, R1 = Me, R2 = 2-OMe, R3 = R4 = H, X = O, n = 2) (IV), which was treated with an aq. HCl/EtOH to give 0.58 g IV.HCl (V). In a guinea pig's left atrium in vitro, V at 10-5 or 3 .times. 10-5 g/mL increased cardiac contractility by 16.7 or 75.0%, resp.

IT **52239-83-5 52240-81-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(amidation of, with (phenoxyethyl)piperazines)

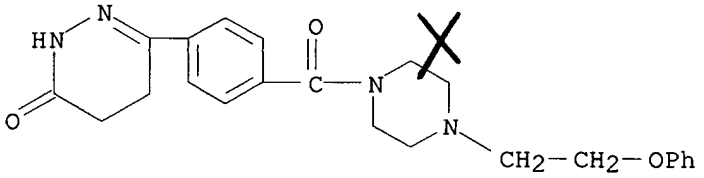
RN 52239-83-5 CAPLUS
CN Benzoic acid, 4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



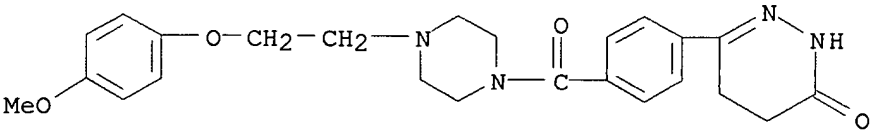
RN 52240-81-0 CAPLUS
CN Benzoic acid, 4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



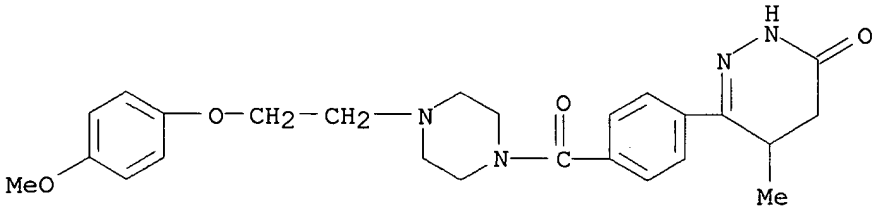
IT **117132-46-4P 117132-47-5P 117132-48-6P 117132-49-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as cardiotonic)
RN 117132-46-4 CAPLUS
CN Piperazine, 1-(2-phenoxyethyl)-4-[4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)benzoyl]- (9CI) (CA INDEX NAME)



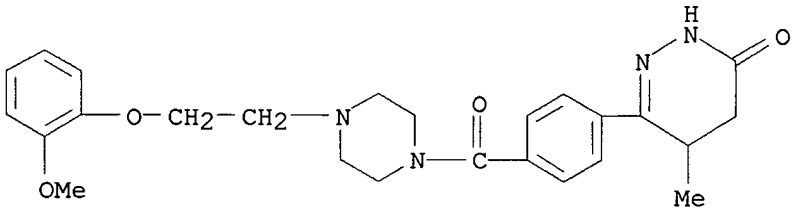
RN 117132-47-5 CAPLUS
CN Piperazine, 1-[2-(4-methoxyphenoxy)ethyl]-4-[4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 117132-48-6 CAPLUS
CN Piperazine, 1-[2-(4-methoxyphenoxy)ethyl]-4-[4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)benzoyl]- (9CI) (CA INDEX NAME)



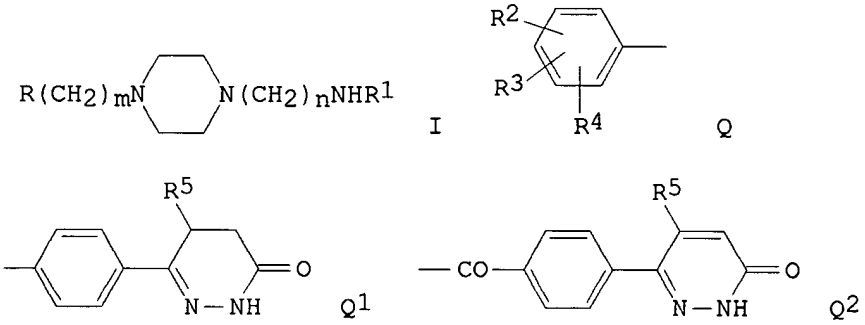
RN 117132-49-7 CAPLUS
CN Piperazine, 1-[2-(2-methoxyphenoxy)ethyl]-4-[4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)benzoyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 14 OF 23 CAPLUS COPYRIGHT 2003 ACS
AN 1988:590450 CAPLUS
DN 109:190450
TI Preparation of pyridazinone-containing piperazine derivatives and their salts as cardiotonics
IN Okujima, Hiromi; Narimatsu, Akihiro; Kobayashi, Makio; Furuya, Rikizo; Tsuda, Kunio; Kitada, Yoshi
PA Mitsubishi Kasei Corp., Japan
SO Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 63154671	A2	19880627	JP 1986-300695	19861217
PRAI JP 1986-300695		19861217		

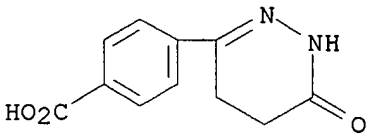
OS MARPAT 109:190450
GI



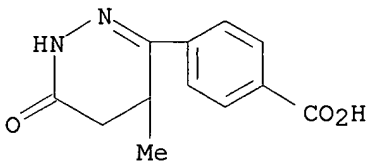
AB Title derivs. I (R = Q; R1 = Q1, Q2; R2 - R4 = H, C1-5 alkoxy, OH; R5 = H, C1-5 alkyl; two of R2 - R4 = OCH2O, OCH2CH2O; m = 0-4; n = 1-4) and their salts are prepd. as cardiotonics. A soln. of 1-(4-methoxyphenyl)piperazine and N-(2-bromoethyl)phthalimide in DMF was treated with Et3N at 80.degree. for 5 h and the product (yield 28%) was stirred with an aq. H2NNH2.H2O in EtOH at 70.degree. for 4 h to give 100 % I (R = C6H4OMe-4, R1 = H, m = 0, n = 2) (II). 6-(4-Carboxyphenyl)-2,3,4,5-tetrahydropyridazin-3-one (0.75 g) was treated with ClCO2Et in DMF/THF contg. Et3N between -20 and -30.degree., the reaction mixt. was treated with a soln. of 0.81 g II at -20.degree. for 20 min, and then at room temp. for 2 h to give I (R = C6H4OMe-4, R1 = Q1, R5 = H, m = 0, n = 2) (III), which was treated with aq. HCl/EtOH to give 0.85 g III.HCl (IV). In guinea pig left atrium in vitro, IV at 10-5 or 3 .times. 10-5 g/mL increased cardiac contractility 42.1 or 58.0%, resp.

IT **52239-83-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(amidation of, with (aminoethyl)piperazines)

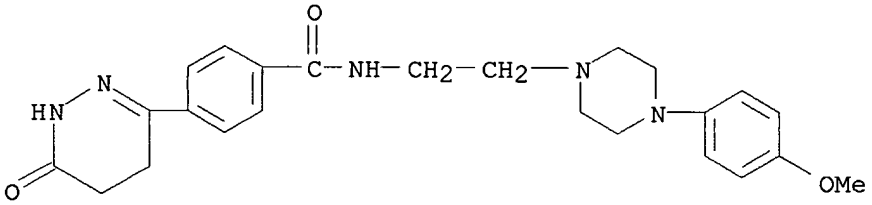
RN 52239-83-5 CAPLUS
CN Benzoic acid, 4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



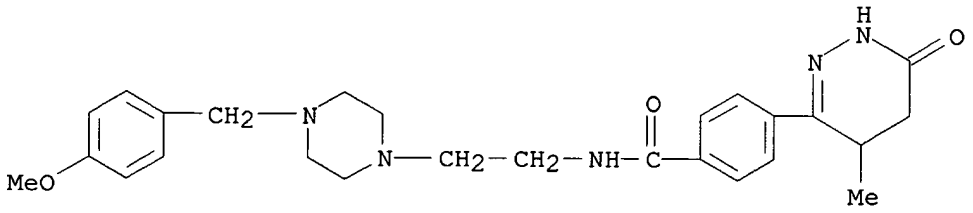
IT **52240-81-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and amidation with, of oxopyridazinylbenzoic acids)
RN 52240-81-0 CAPLUS
CN Benzoic acid, 4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



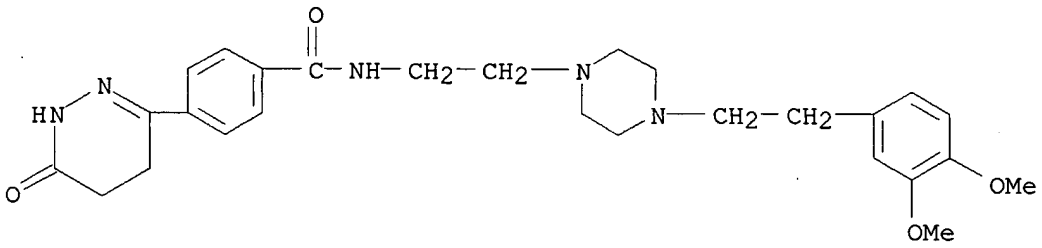
IT **117046-77-2P 117046-78-3P 117046-79-4P**
117046-80-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as cardiotonic)
RN 117046-77-2 CAPLUS
CN Benzamide, N-[2-[4-(4-methoxyphenyl)-1-piperazinyl]ethyl]-4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



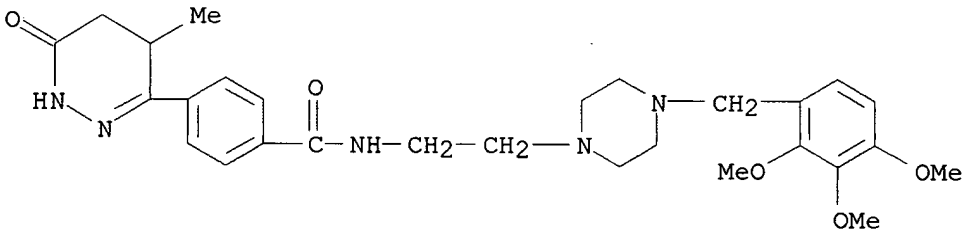
RN 117046-78-3 CAPLUS
CN Benzamide, N-[2-[4-[(4-methoxyphenyl)methyl]-1-piperazinyl]ethyl]-4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



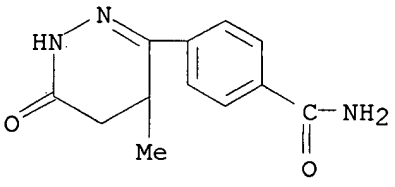
RN 117046-79-4 CAPLUS
CN Benzamide, N-[2-[4-[2-(3,4-dimethoxyphenyl)ethyl]-1-piperazinyl]ethyl]-4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



RN 117046-80-7 CAPLUS
CN Benzamide, 4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)-N-[2-[4-[(2,3,4-trimethoxyphenyl)methyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)



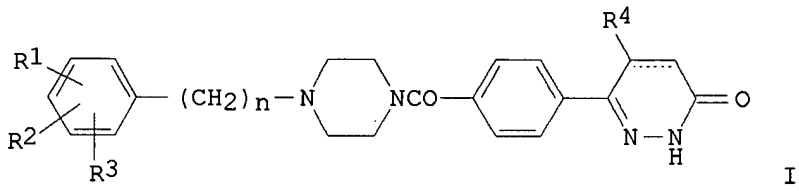
L4 ANSWER 15 OF 23 CAPLUS COPYRIGHT 2003 ACS
AN 1988:48712 CAPLUS
DN 108:48712
TI Strategic approaches to drug design. II. Modeling studies on phosphodiesterase substrates and inhibitors
AU Davis, A.; Warrington, B. H.; Vinter, J. G.
CS Smith Kline and French Res., Welwyn/Herts., AL6 9AR, UK
SO Journal of Computer-Aided Molecular Design (1987), 1(2), 97-119
CODEN: JCADEQ; ISSN: 0920-654X
DT Journal
LA English
AB Computational chem. and mol. graphics were combined with both phys. and biol. data to study the interactions of the cat ventricle phosphodiesterase enzyme with the natural substrates cAMP and cGMP and synthetic inhibitors. Specific binding points (defined by points at which the electrostatic interaction of a proton with the target are most stable) were used to give a consistent picture of the binding requirements of both nonspecific and specific inhibitors. These points are situated on or beyond the van der Waals surface and broadly consist of: (a) a single, large point corresponding with an anionic group and probably representing a primary link; (b) a variable set of points assocd. with the purine of the natural substrate which are likely to represent the secondary binding area and which are able, in appropriate combination with (a), to define specificity; and (c) a 3rd point which (by hydrophobic interaction) can further affect potency by its (chiral) influence. The complementary study by lone-pair construction and regression anal. reached essentially the same working rules for structure-activity and provided quant. support for the hypothesis. It is notable that structural overlay in this particular case seems to be of less significance than electronic overlay. Indeed, structural comparisons have been misleading at times. The main driving forces for recognition and orientation are undoubtedly the coulombic interactions which were the subject of these studies. However, steric influences play their part in the bound state. Compds. designed to access the more effective N(1) site demonstrated by these studies were found to show the expected high potency.
IT **52240-83-2**
RL: BIOL (Biological study)
(cyclic nucleotide phosphodiesterase inhibition by, structure in relation to)
RN 52240-83-2 CAPLUS
CN Benzamide, 4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



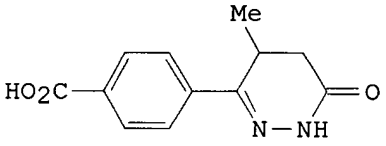
L4 ANSWER 16 OF 23 CAPLUS COPYRIGHT 2003 ACS
AN 1986:442832 CAPLUS
DN 105:42832
TI Pyridazinones
IN Okujima, Hiromi; Narimatsu, Akihiro; Kobayashi, Makio; Furuya, Rikizo; Kitada, Yoshi
PA Mitsubishi Chemical Industries Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 61044873	A2	19860304	JP 1984-167336	19840810
	JP 05045585	B4	19930709		
PRAI	JP 1984-167336		19840810		

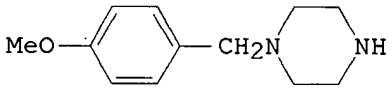
GI



I



II

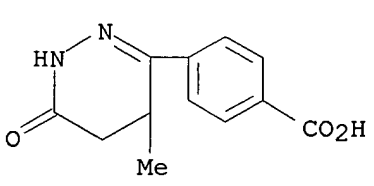


III

AB The title compds. [I; R1-R3 = H, OH, alkoxy, or any 2 of R1-R3 = OCH2O or OCH2CH2O; R4 = alkyl; n is an integer of 0-4], useful as cardiotonics (effective at 10 .mu.g/kg i.v. in dogs), antihypertensives, and vasodilators, were prepd. Thus, a mixt. of the benzoic acid II, Et3N, THF, and DMF was cooled to -20.degree. to -30.degree., ClCO2Et in THF added, then the piperazine deriv. III in CH2Cl2 added, and the resulting mixt. allowed to warm to room temp. over 1 h to give 58% I [R1 = p-MeO, R2 = R3 = H, R4 = Me, n = 1].

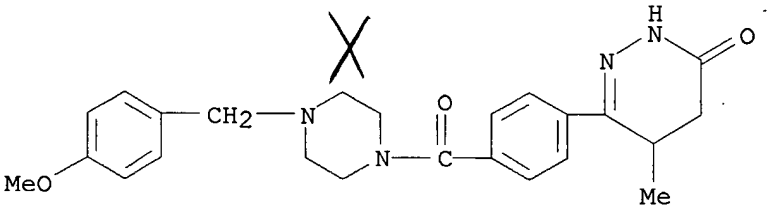
IT **52240-81-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation by, of piperazine deriv.)

RN 52240-81-0 CAPLUS
CN Benzoic acid, 4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)

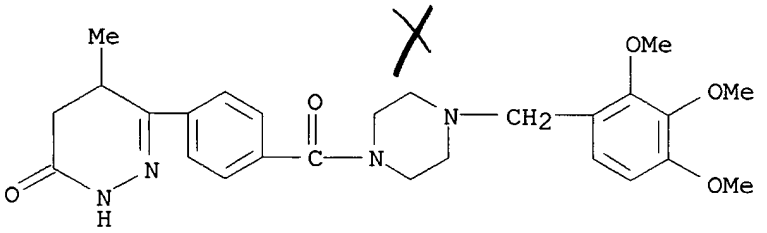


IT **103118-84-9P 103118-85-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as pharmaceutical)

RN 103118-84-9 CAPLUS
CN Piperazine, 1-[(4-methoxyphenyl)methyl]-4-[4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)benzoyl]- (9CI) (CA INDEX NAME)



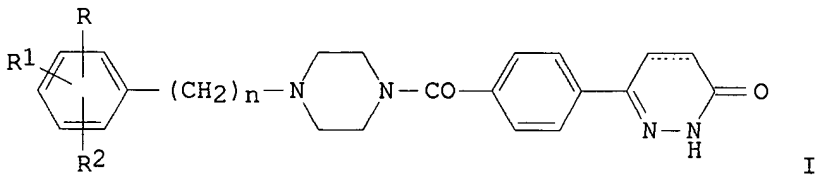
RN 103118-85-0 CAPLUS
CN Piperazine, 1-[4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)benzoyl]-4-[(2,3,4-trimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



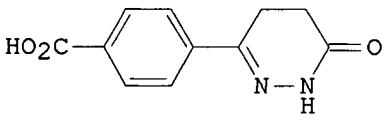
L4 ANSWER 17 OF 23 CAPLUS COPYRIGHT 2003 ACS
AN 1986:168480 CAPLUS
DN 104:168480
TI Pyridazinone derivatives and their salts
IN Okujima, Hiromi; Narimatsu, Akihiro; Kobayashi, Makio; Tsuda, Kunio; Kitada, Yoshi
PA Mitsubishi Chemical Industries Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 60197660	A2	19851007	JP 1984-53972	19840321
PRAI JP 1984-53972		19840321		

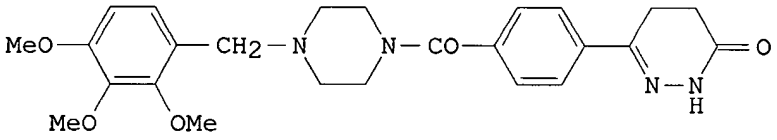
GI



I



II

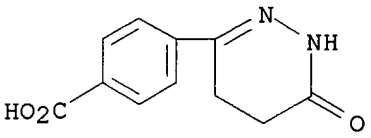


III

AB The title derivs. (I; R-R2 = H, OH, C.ltoreq.5 alkoxy; RR1 = OCH2O, OCH2CH2O; n = 0-4) or their salts were prepd. as cardiotonics. Thus, the mixed anhydride prepd. from 246 mg (oxopyridaziyl)benzoic acid II and 0.11 mL ClCO2Et reacted with 300 mg 4-(2,3,4-trimethoxybenzyl)piperazine in DMF/THF at 0.degree.-room temp. for 2 h to give 30 mg III. In dogs 300 .mu.g III/kg increased cardiac contractile force 146.4%.

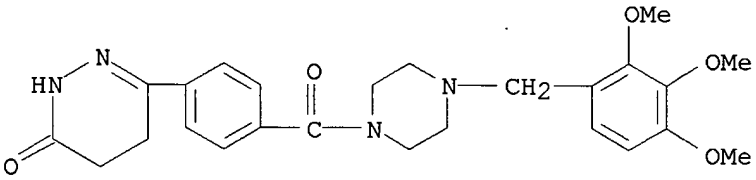
IT **52239-83-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation by, of piperazines)

RN 52239-83-5 CAPLUS
CN Benzoic acid, 4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)

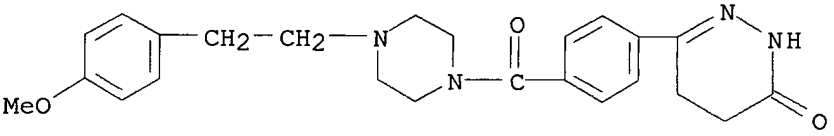


IT **101187-65-9P 101187-66-0P 101187-67-1P**
101539-61-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and cardiotonic activity of)

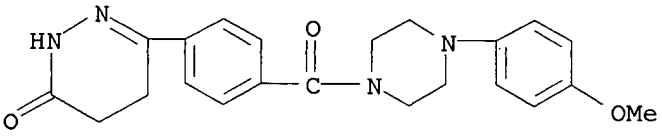
RN 101187-65-9 CAPLUS
CN Piperazine, 1-[4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)benzoyl]-4-[(2,3,4-trimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



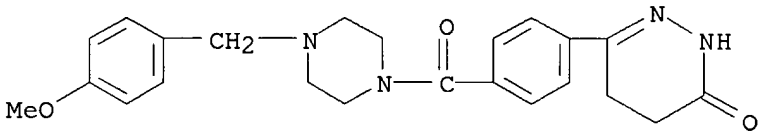
RN 101187-66-0 CAPLUS
CN Piperazine, 1-[2-(4-methoxyphenyl)ethyl]-4-[4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 101187-67-1 CAPLUS
CN Piperazine, 1-(4-methoxyphenyl)-4-[4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)benzoyl]- (9CI) (CA INDEX NAME)



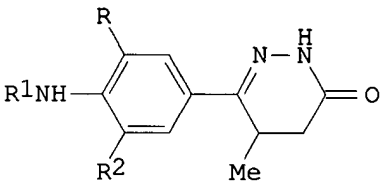
RN 101539-61-1 CAPLUS
CN Piperazine, 1-[(4-methoxyphenyl)methyl]-4-[4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)benzoyl]- (9CI) (CA INDEX NAME)


MeO
CH2
N
N
C
O
N
NH
O

L4 ANSWER 18 OF 23 CAPLUS COPYRIGHT 2003 ACS
AN 1984:490956 CAPLUS
DN 101:90956
TI Pyridazinone derivatives
PA Mitsui Toatsu Chemicals, Inc., Japan
SO Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 59053472	A2	19840328	JP 1982-163122	19820921
	JP 05024149	B4	19930406		
PRAI	JP 1982-163122		19820921		

OS CASREACT 101:90956
GI

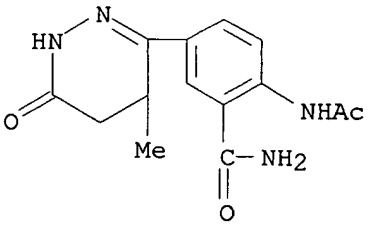


I

AB Pyridazinone derivs. I [R, R1, R2 = Me, H, Me; Br, Ac, H; cyano, Ac, H; H2NCO, Ac, H; Me, Me2NCH2CO, Me; Me, L-PhCH2CH(NH2)CO, Me; Me, EtCO, Me] were prepd. by reaction of 3,4,5-R(R1NH)R2C6H2COCHMeCH2CO2H (II) with H2NNH2 (III) and had hypotensive activity in spontaneously hypertensive rats (p.o.) (no data). Thus, refluxing 2.5 g II (R = R2 = Me, R1 = H) with 0.75 g III in EtOH 2 h gave 1.2 g I (R = R2 = Me, R1 = H).

IT **91486-11-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

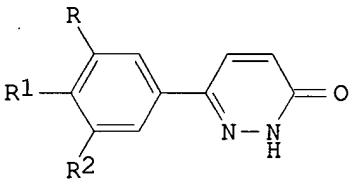
RN 91486-11-2 CAPLUS
CN Benzamide, 2-(acetylamino)-5-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 19 OF 23 CAPLUS COPYRIGHT 2003 ACS
AN 1982:472382 CAPLUS
DN 97:72382
TI Pyridazine derivatives as fungicides
PA Sankyo Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 57050972	A2	19820325	JP 1980-126496	19800911
	JP 62051953	B4	19871102		
PRAI	JP 1980-126496		19800911		

OS CASREACT 97:72382
GI

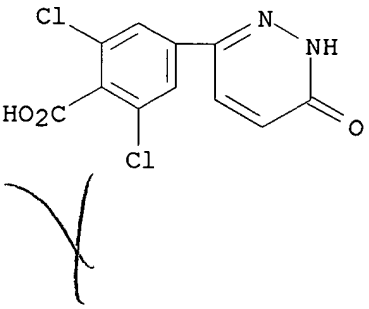


I

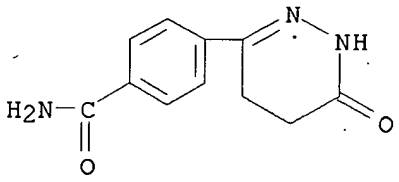
AB Arylpyridazine derivs. (I; R = H, halo; R1 = halomethyl, alkylthiomethyl, formyl, HO2C, HOCH2, alkanoyloxymethyl, aminomethyl; R2 = H, halo), effective herbicides at 30-100 ppm, were prepd. Thus, a mixt. of I (R = R2 = Cl, R1 = Me) 10.2, NBS 7.84, and Bz2O2 0.5 g in CCl4 was refluxed 4 h to give 2.95 g I (R = R2 = Cl, R1 = BrCH2). Also prepd. were 6 addnl. I.

IT **82593-03-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and herbicidal activity of)

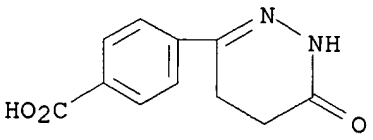
RN 82593-03-1 CAPLUS
CN Benzoic acid, 2,6-dichloro-4-(1,6-dihydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



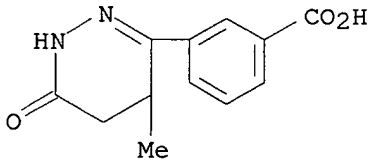
L4 ANSWER 20 OF 23 CAPLUS COPYRIGHT 2003 ACS
AN 1978:98934 CAPLUS
DN 88:98934
TI Application of regression analysis to the hypotensive activities of pyridazinones
AU Kulkarni, Vithal M.
CS K. M. K. Coll. Pharm., Ulhasnagar, India
SO Current Science (1977), 46(23), 801-3
CODEN: CUSCAM; ISSN: 0011-3891
DT Journal
LA English
AB Regression anal. of 32 compds. in a pyridazinone series has been performed using the Free-Wilson method. Individual group contributions for 28 analogs have been calcd. sep. by taking the rank order and logarithm of hypotensive activities as dependent variables. The degree of agreement between the obsd. and the calcd. activities is estd. at 92% variance level with F = 10.614 and multiple correlation coeff., r = 0.986. Based on the group contributions and on the no. of times a substituent occurs at a particular position in the compd., a quant. structure-activity relationship has been studied.
IT **36725-23-2 52239-83-5**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(hypotensive activity of)
RN 36725-23-2 CAPLUS
CN Benzamide, 4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



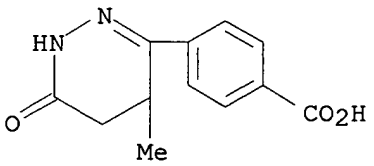
RN 52239-83-5 CAPLUS
CN Benzoic acid, 4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



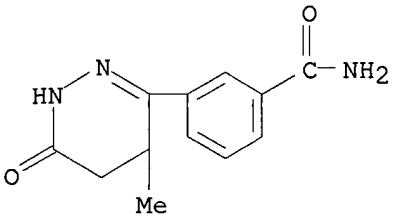
L4 ANSWER 21 OF 23 CAPLUS COPYRIGHT 2003 ACS
AN 1974:420767 CAPLUS
DN 81:20767
TI 6-(Substituted phenyl)-5-substituted-4,5-dihydro-3(2H)-pyridazinones. Antihypertensive agents
AU McEvoy, Francis J.; Allen, George R., Jr.
CS Lederle Lab. Div., Am. Cyanamide Co., Pearl River, NY, USA
SO Journal of Medicinal Chemistry (1974), 17(3), 281-6
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
AB Of a series of 57 title compds. prepd. by reacting the appropriate .gamma.-keto acid or ester with hydrazine, 6-(p-cyanophenyl)-2-ethyl-4,5-dihydro-5-methyl-3(2H)-pyridazinone (I) [51936-69-7] and 6-(p-cyanophenyl)-4,5-dihydro-5-methyl-3(2H)-pyridazinone (I) [51936-70-0] and 6-(p-cyanophenyl)-4,5-dihydro-5-methyl-3(2H)-pyridazinone (I) and 6-(p-cyanophenyl)-4,5-dihydro-5-methyl-3(2H)-pyridazinone (II) caused marked lowering of blood pressure over a 24 hr period in normotensive rats. The structure-activity relationship is discussed.
IT **52240-80-9P 52240-81-0P 52240-82-1P**
52240-83-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and antihypertensive activity of)
RN 52240-80-9 CAPLUS
CN Benzoic acid, 3-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



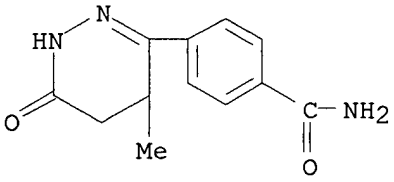
RN 52240-81-0 CAPLUS
CN Benzoic acid, 4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



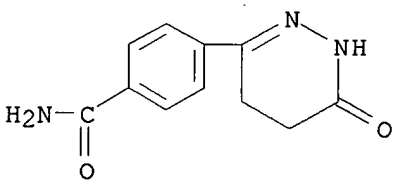
RN 52240-82-1 CAPLUS
CN Benzamide, 3-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



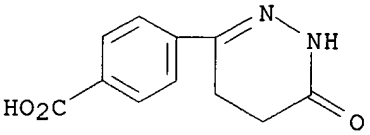
RN 52240-83-2 CAPLUS
CN Benzamide, 4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 22 OF 23 CAPLUS COPYRIGHT 2003 ACS
AN 1974:420766 CAPLUS
DN 81:20766
TI 6-Phenyl-4,5-dihydro-3(2H)-pyridazinones. Series of hypotensive agents
AU Curran, William V.; Ross, Adma
CS Lederle Lab. Div., Am. Cyanamide Co., Pearl River, NY, USA
SO Journal of Medicinal Chemistry (1974), 17(3), 273-81
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
AB Of a series of 55 derivs. of 6-phenyl-4,5-dihydro-3(2H)-pyridazinone (I) [1011-46-7] prepd. by the cyclization reaction of NH2NH2 with the appropriate .gamma.-keto acid, the derivs. with cyano, acetamido, nitro, or amino groups in the para or meta position of the benzene ring combined with the 5-methyl substituent in the hetero ring were the most active hypotensive agents in normotensive rats. Toxicity expts. with the active compds. in mice, dogs, and monkeys resulted in hemorrhagic patches in the heart area. Structure-activity relationships are discussed.
IT **36725-23-2P 52239-83-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and hypotensive activity of)
RN 36725-23-2 CAPLUS
CN Benzamide, 4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



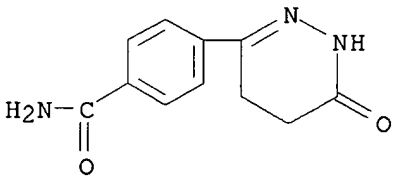
RN 52239-83-5 CAPLUS
CN Benzoic acid, 4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 23 OF 23 CAPLUS COPYRIGHT 2003 ACS
AN 1972:419664 CAPLUS
DN 77:19664
TI Hypotensive 6-aryl-4,5-dihydro-3(2H)-pyridazinones
IN Curran, William V.; Ross, Adma Schneller; Tomcufcik, Andrew S.
PA American Cyanamid Co.
SO Ger. Offen., 53 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2150436	A	19720413	DE 1971-2150436	19711009
	US 3689652	A	19720905	US 1970-79671	19701009
	US 3746712	A	19730717	US 1971-151154	19710608
PRAI	US 1970-79670		19701009		
	US 1970-79671		19701009		
	US 1971-151154		19710608		
	US 1971-151155		19710608		

GI For diagram(s), see printed CA Issue.
AB Twenty-one title compds. [I; R,R1,R2 = H or Me; R3 = H, CN, NO2, NH2, NHAc, or Cl; R4 = H, CN, H2NCO, morpholino, AcNH, NH2, Cl, Me, Br, F, or iodine, or R3R4 = (CH2)4] were prepd. by ring closure of 3,4-R3R4C6H3COCH(R2)-CH(R1)CO2H (II) with H2NNHR optionally followed by converting into a deriv., e.g. by hydrolysis, redn., or acetylation. I had hypotensive activities in rats. Thus, II (R1 = R3 = H, R2 = Me, R4 = NHAc), and H2NNH2 in EtOH were refluxed 2 hr to give I (R = R1 = R3 = H, R2 = Me, R4 = NHAc) (III). Re-fluxing III with NaOH-MeOH gave I (R = R1 = R3 = H, R2 = Me, R4 = NH2).
IT **36725-23-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 36725-23-2 CAPLUS
CN Benzamide, 4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)- (9CI) (CA INDEX NAME)



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